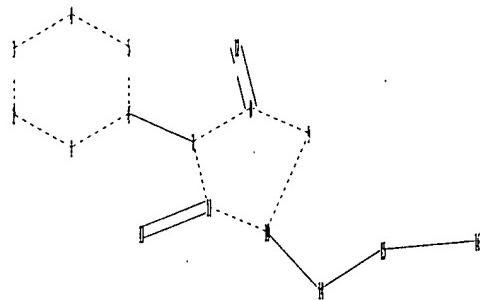
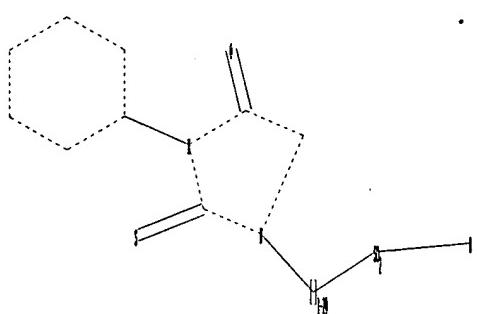


EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	59	548/301.7	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2007/01/06 14:11
L2	0	I1 and androgen	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2007/01/06 14:09
L3	82	548/318.5	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2007/01/06 14:11
L4	24	I3 and androgen	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2007/01/06 14:11

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chain nodes :

12 13 14 15 16

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds :

6-7 8-12 10-14 11-13 14-15 15-16

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 6-7 7-8 7-11 8-9 8-12 9-10 10-11 10-14 11-13

15-16

exact bonds :

14-15

isolated ring systems :

containing 1 :

Match level :

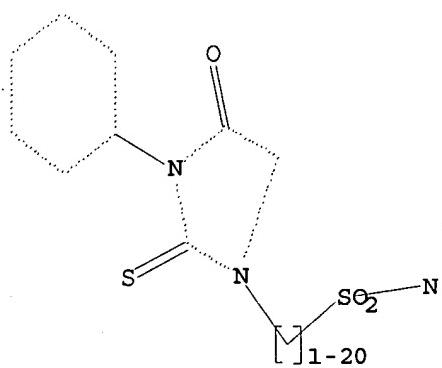
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11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

Karen Cheng

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=> s 11 full
FULL SEARCH INITIATED 13:28:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 82 TO ITERATE

100.0% PROCESSED 82 ITERATIONS 45 ANSWERS
SEARCH TIME: 00.00.01

L2 45 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
172.10 172.31

FILE 'CAPLUS' ENTERED AT 13:28:28 ON 05 JAN 2007
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FILE LAST UPDATED: 4 Jan 2007 (20070104/ED)

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=> s 12
L3 2 L2

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Karen Cheng

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L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:117378 CAPLUS
 DOCUMENT NUMBER: 144:192253
 TITLE: Preparation of imidazolidine derivatives as androgen receptor antagonists
 INVENTOR(S): Tachibana, Kazutaka; Sato, Hazuhiko; Ohta, Masateru;
 Nakamura, Mitsuaki; Shiraiishi, Takuya; Imakura, Ikuhiro; Yoshino, Hitoshi; Nagamuta, Masahiro;
 Kawata, Hiromitsu
 PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
 SOURCE: PCT Int'l Appl., 86 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006013887	A1	20060209	WO 2005-JP14195	20050803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: RT, BE, BG, CH, CY, C2, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, T2, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.: JP 2004-227321	A	20040803		

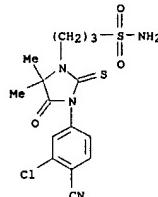
OTHER SOURCE(S): MARPAT 144:192253
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [n = 1-20; Q = Q1, Q2; A = cyano, CO2R3, CONR3R4, etc.; B = H, halo, OR3, etc.; X1, X2 = O, S; m = 0-3; E = alkyl; R1, R2 = H, alkyl oralkylcarbonyl; R3, R4 = H, alkyl; when X1 = O and X2 = S, Q is not 4-cyano-3-trifluoromethylphenyl] were prepared. For example, reaction of compound II, e.g., prepared from 3-chloropropanesulfonamide in 2 steps, with 3-chloro-4-cyanophenylisothiocyanate followed by treatment with HCl afforded compound III. In androgen receptor antagonistic activity assays, the IC50 value of compound III was 200 nM. Compds. I are claimed useful for the treatment of prostate cancer, prostatomegaly, etc.

IT 875055-92-8P

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of imidazolidine derivs. as androgen receptor antagonists for treatment of prostate cancer, prostatomegaly, etc.)
 RN 875055-92-8 CAPLUS
 CN 1-Imidazolidinepropanesulfonamide, 3-(3-chloro-4-cyanophenyl)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



IT 875055-93-9P 875055-94-0P 875055-95-1P
 875055-96-2P 875055-97-3P 875055-98-4P
 875055-99-5P 875056-03-4P 875056-04-5P
 875056-05-6P 875056-06-7P 875056-07-8P
 875056-08-9P 875056-09-0P 875056-18-1P
 875056-19-2P 875056-20-5P 875056-21-6P
 875056-23-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of imidazolidine derivs. as androgen receptor antagonists for treatment of prostate cancer, prostatomegaly, etc.)
 RN 875055-93-9 CAPLUS
 CN 1-Imidazolidinepropanesulfonamide, 3-(4-cyano-3-methoxyphenyl)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 875055-94-0 CAPLUS
 CN 1-Imidazolidinepropanesulfonamide, 3-[4-cyano-2-methyl-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

RN 875055-95-1 CAPLUS
 CN 1-Imidazolidinepropanesulfonamide, 3-[4-cyano-2-methyl-5-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 875055-96-2 CAPLUS
 CN 1-Imidazolidinepropanesulfonamide, 5,5-dimethyl-3-[4-nitro-3-(trifluoromethyl)phenyl]-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

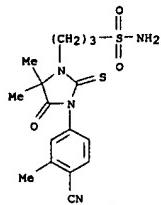
RN 875055-97-3 CAPLUS
 CN 1-Imidazolidinepropanesulfonamide, 3-(4-cyano-3-methylphenyl)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

Karen Cheng

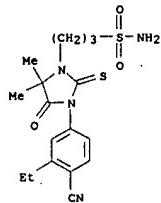
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L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



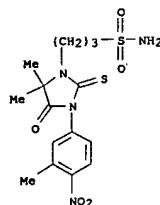
RN 875055-99-4 CAPLUS
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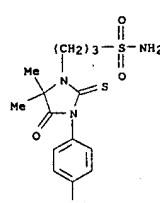
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CN 1-Imidazolidinepropanesulfonamide,
5,5-dimethyl-3-(3-methyl-4-nitrophenyl)-
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L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



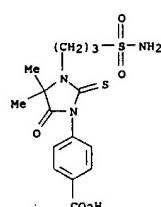
RN 875056-03-4 CAPLUS
CN 1-Imidazolidinepropanesulfonamide,
3-(4-cyanophenyl)-5,5-dimethyl-4-oxo-2-
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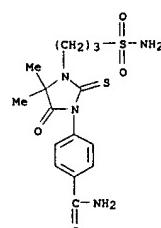
RN 875056-04-5 CAPLUS
CN Benzoic acid,
4-[3-(3-(aminosulfonyl)propyl)-4,4-dimethyl-5-oxo-2-thioxo-1-
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L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



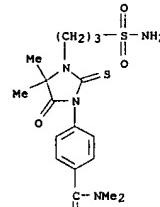
RN 875056-05-6 CAPLUS
CN Benzamide, 4-[3-(3-(aminosulfonyl)propyl)-4,4-dimethyl-5-oxo-2-thioxo-1-
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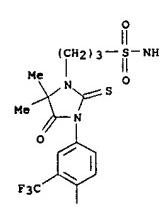
RN 875056-06-7 CAPLUS
CN Benzamide, 4-[3-(3-(aminosulfonyl)propyl)-4,4-dimethyl-5-oxo-2-thioxo-1-
imidazolidinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



RN 875056-07-8 CAPLUS
CN Benzoic acid,
4-[3-(3-(aminosulfonyl)propyl)-4,4-dimethyl-5-oxo-2-thioxo-1-
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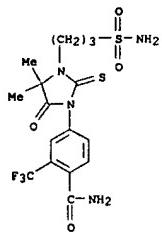


RN 875056-08-9 CAPLUS
CN Benzamide, 4-[3-(3-(aminosulfonyl)propyl)-4,4-dimethyl-5-oxo-2-thioxo-1-
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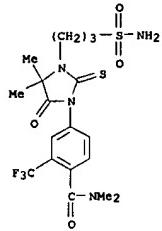
Karen Cheng

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L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

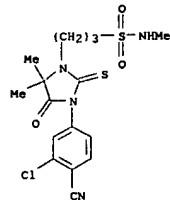


RN 875056-09-0 CAPLUS
CN Benzamide, 4-[3-(3-(aminosulfonyl)propyl)-4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-N,N-dimethyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

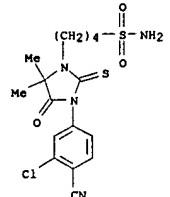


RN 875056-18-1 CAPLUS
CN 1-Imidazolidinepropanesulfonamide, 3-(3-chloro-4-cyanophenyl)-N,5,5-trimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

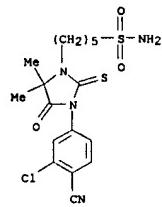


RN 875056-19-2 CAPLUS
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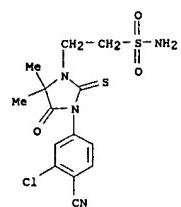


RN 875056-20-5 CAPLUS
CN 1-Imidazolidinepentanesulfonamide, 3-(3-chloro-4-cyanophenyl)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

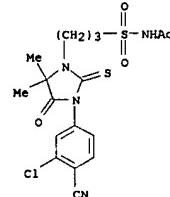


RN 875056-21-6 CAPLUS
CN 1-Imidazolidineethanesulfonamide, 3-(3-chloro-4-cyanophenyl)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



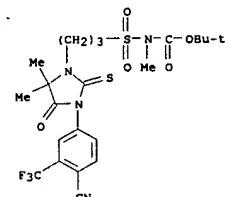
RN 875056-23-8 CAPLUS
CN Acetamide, N-[{3-[3-(3-chloro-4-cyanophenyl)-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]propyl}sulfonyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 811794-10-2P 811794-20-4P 875056-28-3P
875056-29-4P 875056-33-0P 875056-37-4P
875056-39-6P
RL: RCT (Reactant); SPP (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazolidine derivs. as androgen receptor antagonists for treatment of prostate cancer, prostatomegaly, etc.)

RN 811794-10-2 CAPLUS
CN Carbamic acid, [{3-[3-(4-cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]propyl}sulfonyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 811794-20-4 CAPLUS
CN 1-Imidazolidineethanesulfonamide, 3-(4-cyano-3-(trifluoromethyl)phenyl)-N,N-bis[(4-methoxyphenyl)methyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

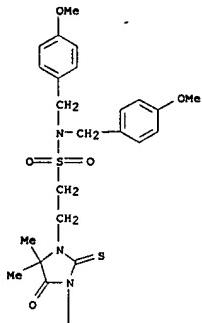
Karen Cheng

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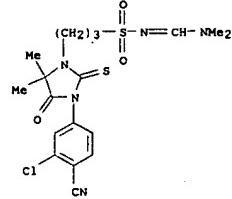
L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

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PAGE 1-A

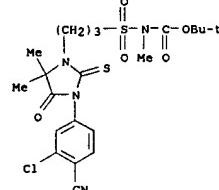


L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 875056-29-4 CAPLUS

CN Carbamic acid, (1-[3-(3-chloro-4-cyanophenyl)-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]propyl)sulfonylmethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



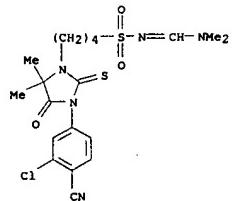
RN 875056-33-0 CAPLUS

CN 1-Imidazolidinebutanesulfonamide, 3-(3-chloro-4-cyanophenyl)-N-((dimethylamino)methylene)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

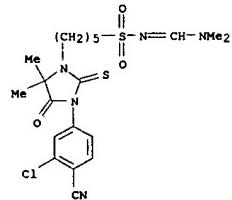
RN 875056-28-3 CAPLUS
CN 1-Imidazolidinepropanesulfonamide, 3-(3-chloro-4-cyanophenyl)-N-((dimethylamino)methylene)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

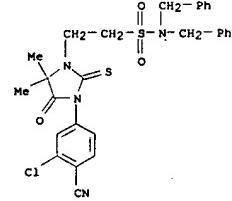


RN 875056-37-4 CAPLUS
CN 1-Imidazolidinepentanesulfonamide, 3-(3-chloro-4-cyanophenyl)-N-((dimethylamino)methylene)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



RN 875056-39-6 CAPLUS
CN 1-Imidazolidineethanesulfonamide, 3-(3-chloro-4-cyanophenyl)-5,5-dimethyl-4-oxo-N,N-bis(phenylmethyl)-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



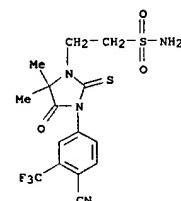
IT 811793-48-3P 811793-51-8P 811793-64-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of imidazolidine derivs. as androgen receptor antagonists for

treatment of prostate cancer, prostatomegaly, etc.)

RN 811793-48-3 CAPLUS

CN 1-Imidazolidineethanesulfonamide, 3-(4-cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

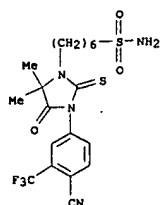


RN 811793-51-8 CAPLUS
CN 1-Imidazolidinehexanesulfonamide, 3-(4-cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

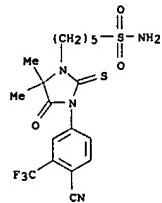
Karen Cheng

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L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

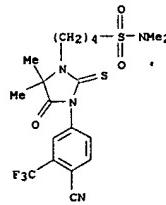


RN 811793-64-3 CAPLUS
 CN 1-imidazolidinepentanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

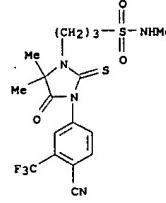


RN 811793-67-6 CAPLUS
 CN 1-imidazolidinebutanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,5,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 811793-78-9 CAPLUS
 CN 1-imidazolidinepropanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,5,5-trimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1127346 CAPLUS

DOCUMENT NUMBER: 142:74567

TITLE: Preparation of imidazolidine derivatives as androgen receptor antagonists

INVENTOR(S): Tachibana, Kazutaka; Sato, Haruhiko; Ohta, Masateru; Nakamura, Mitsuaki; Shirashi, Takuya; Imaoka, Ikuhiro; Yoshino, Hitoshi; Nagamuta, Masahiro;

Kawata, Hiromitsu

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

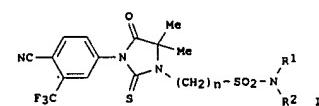
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200411012	A1	20041223	WO 2004-JP8211	20040611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
WV: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
EP 1634874	A1	20060315	EP 2004-745805	20040611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006135583	A1	20060622	US 2005-560281	20051212
PRIORITY APPLN. INFO.: US 2006135583			JP 2003-168267	A 20030612
				WO 2004-JP8211
				W 20040611

OTHER SOURCE(S): MARPAT 142:74567
GI

AB: 3-(4-Cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidine-1-alkanesulfonamides represented by the formula (I) (wherein n is an integer selected among 1 to 20; and R1 and R2 may be the same or different and each represents hydrogen or linear or branched,

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L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

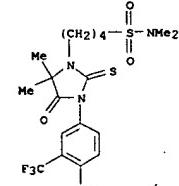
alkyl) and salts, prodrugs, and solvates thereof are prep'd. These compds.

are useful for the prevention and/or treatment of prostatic cancer, prostatic hypertrophy, male pattern alopecia, early sexual maturity, common acne, seborrheic disease, and hypertrichosis. Thus, 2.2 g MeO2CCMe2NH(CH2)3SO2N:CHNMMe2 was dissolved in 34 mL THF, treated with

0.21 mL Et3N and 1.71 g 4-cyano-3-trifluoromethylphenyl isothiocyanate, and stirred at room temp. for 2 h to give 71% I (n = 3, NR1R2 = N:CHNMMe2) which (2.6 g) was treated with a mixt. of 6 N aq. HCl and 1,4-dioxane under reflux for 1 h to give 70% I (n = 3, R1= R2 = H) (III). II and I (n = 3, R1= R2 = H) showed EC50 of 20,000 and >100,000 nM, resp., as androgen receptor agonists, and IC50 of 200 and 600 nM, resp., as androgen receptor antagonists with EC50/IC50 ratio of 100 and >170, resp., in an androgen receptor reporter gene assay using 11A1B2 cells (HeLa cells expressing human androgen receptor). They showed higher EC50/IC50 ratio than Picartamide (0.067) and hydroxyflutamide (0.1), and are expected to be anti-androgen agents without side effects such as development of androgen resistance and/or liver toxicity.

IT 811793-67-6
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 3-(4-cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidine-1-alkanesulfonamides derivs. as androgen receptor antagonists)

RN 811793-67-6 CAPLUS
 CN 1-imidazolidinebutanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,5,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



IT 811793-42-7P 811793-48-3P 811793-51-8P
811793-54-1P 811793-57-4P 811793-60-9P
811793-64-3P 811793-68-7P 811793-70-1P
811793-72-3P 811793-73-4P 811793-75-6P
811793-77-8P 811793-79-9P 811793-80-3P
811793-81-4P

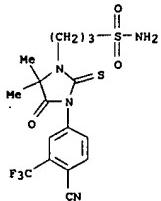
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

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L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(prep. of 3-(4-cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidine-1-alaknesulfonamides derivs. as androgen receptor antagonists)

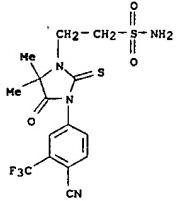
RN 811793-42-7 CAPLUS

CN 1-Imidazolidinepropanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



RN 811793-48-3 CAPLUS

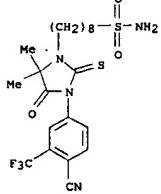
CN 1-Imidazolidineethanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



RN 811793-51-8 CAPLUS

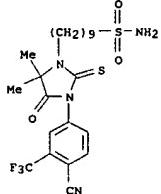
CN 1-Imidazolidinehexanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



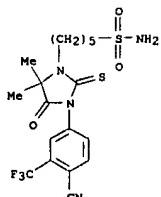
RN 811793-60-9 CAPLUS

CN 1-Imidazolidinemnonanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

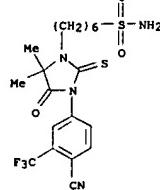


RN 811793-64-3 CAPLUS

CN 1-Imidazolidinepentanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

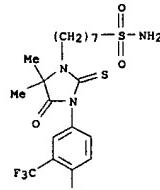


L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 811793-54-1 CAPLUS

CN 1-Imidazolidineheptanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



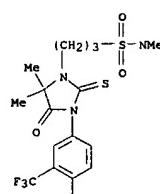
RN 811793-57-4 CAPLUS

CN 1-Imidazolidineoctanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

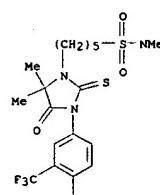
RN 811793-68-7 CAPLUS

CN 1-Imidazolidinepropanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,5,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



RN 811793-70-1 CAPLUS

CN 1-Imidazolidinepentanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,5,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



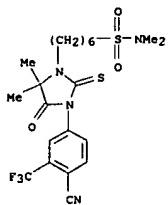
RN 811793-72-3 CAPLUS

CN 1-Imidazolidinehexanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,5,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

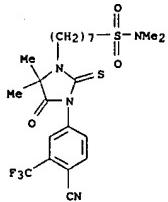
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L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

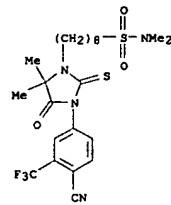


RN 811793-73-4 CAPLUS
CN 1-Imidazolidineheptanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

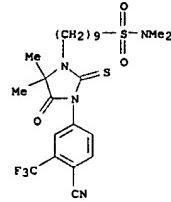


RN 811793-75-6 CAPLUS
CN 1-Imidazolidineoctanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

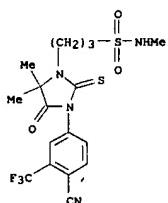


RN 811793-77-8 CAPLUS
CN 1-Imidazolidinenonanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

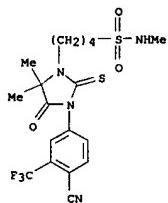


RN 811793-78-9 CAPLUS
CN 1-Imidazolidinepropanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,5,5-trimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

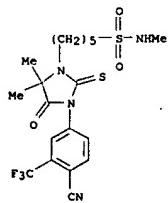
L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 811793-80-3 CAPLUS
CN 1-Imidazolidinebutanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,5,5-trimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



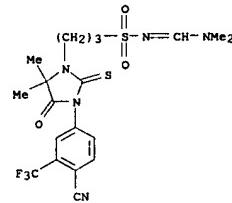
RN 811793-81-4 CAPLUS
CN 1-Imidazolidinepentanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,5,5-trimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

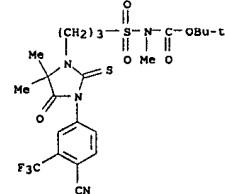
IT 811794-21-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of
3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxoimidazolidine-1-alkanesulfonamides derivs. as androgen receptor antagonists)

RN 811794-21-5 CAPLUS
CN 1-Imidazolidinepropanesulfonamide,
3-[4-cyano-3-(trifluoromethyl)phenyl]-N-
[(dimethylamino)methylene]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



IT 811794-10-2P 811794-20-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of
3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxoimidazolidine-1-alkanesulfonamides derivs. as androgen receptor antagonists)

RN 811794-10-2 CAPLUS
CN Carbamic acid, [(3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl)propyl]sulfonylmethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



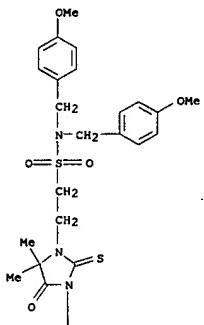
RN 811794-20-4 CAPLUS

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L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1-Imidazolidineethanesulfonamide, 3-(4-cyano-3-(trifluoromethyl)phenyl)-
N,N-bis[(4-methoxyphenyl)methyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA
INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

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for claim #12

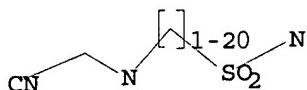
10560281full

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exact bonds :
1-2 4-5

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS

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Structure attributes must be viewed using STN Express query preparation.

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COST IN U.S. DOLLARS SINCE FILE TOTAL
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FILE LAST UPDATED: 4 Jan 2007 (20070104/ED)

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<http://www.cas.org/infopolicy.html>

=> s 12

L3 3 L2

=> d ibib abs hitstr 1-3

10560281full

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
 ACESSION NUMBER: 2006:117378 CAPLUS
 DOCUMENT NUMBER: 144:192233
 TITLE: Preparation of imidazolidine derivatives as androgen receptor antagonists
 INVENTOR(S): Tachibana, Kazutaka; Sato, Haruhiko; Ohta, Masateru; Nakamura, Mitsuaki; Shiraishi, Takuya; Imaoka, Ikuhiro; Yoshino, Hitoshi; Nagamuta, Masahiro; Kawata, Hiromitsu
 PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
 SOURCE: PCT Int. Appl., 86 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006013887	A1	20060209	WO 2005-JP14195	20050803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, ND, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, C2, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:		JP 2004-227321	A 20040803	

OTHER SOURCE(S): MARPAT 144:192253
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
 AB Title compds. I ($n = 1-20$; Q = Q1, Q2; A = cyano, CO2R3, CONR3R4, etc.; B = H, halo, OR3, etc.; X1, X2 = O; S; m = 0-3; E = alkyl; R1, R2 = H, alkyl, alkylcarbonyl; R3, R4 = H, alkyl; when X1 = O and X2 = S, Q is not 4-cyano-3-trifluoromethylphenyl) were prepared. For example, reaction of compound II, e.g., prepared from 3-chloropropanesulfonamide in 2 steps, with 3-chloro-4-cyanophenylisothiocyanate followed by treatment with HCl afforded compound III. In androgen receptor antagonistic activity assays, the IC50 value of compound III was 200 nM. Compds. I are claimed useful for the treatment of prostate cancer, prostatomegaly, etc.
 IT 811794-17-9P

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
 ACESSION NUMBER: 2004:1127346 CAPLUS
 DOCUMENT NUMBER: 142:74567
 TITLE: Preparation of imidazolidine derivatives as androgen receptor antagonists
 INVENTOR(S): Tachibana, Kazutaka; Sato, Haruhiko; Ohta, Masateru; Nakamura, Mitsuaki; Shiraishi, Takuya; Imaoka, Ikuhiro; Yoshino, Hitoshi; Nagamuta, Masahiro; Kawata, Hiromitsu
 PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
 SOURCE: PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
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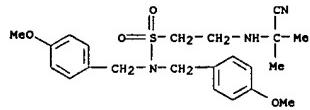
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111012	A1	20040223	WO 2004-JP8211	20040611
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EP 1634874	A1	20060315	EP 2004-745805	20040611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006135583	A1	20060622	US 2005-560281	20051212
PRIORITY APPLN. INFO.:			JP 2003-168267	A 20030612
WO 2004-JP8211		W 20040611		

OTHER SOURCE(S): MARPAT 142:74567
 GI

AB 3-(4-Cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioximidazolidine-1-alkanesulfonamides represented by the formula (I) (wherein n is an integer selected among 1 to 20; and R1 and R2 may be the same or different and each represents hydrogen or linear or branched,

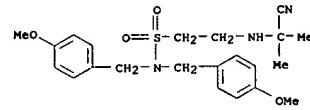
Karen Cheng

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of imidazolidine derivs. as androgen receptor antagonists for treatment of prostate cancer, prostatomegaly, etc.)
 RN 811794-17-9 CAPLUS
 CN Ethanesulfonamide, 2-[(1-cyano-1-methylethyl)amino]-N,N-bis[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 alkyl) and salts, prodrugs, and solvates thereof are prep'd. These compds. are useful for the prevention and/or treatment of prostatic cancer, prostatic hypertrophy, male pattern alopecia, early sexual maturity, common acne, seborrheic disease, and hypertrichosis. Thus, 2.2 g MeO2CCMe2NH(CH2)3SO2N:CHNMe2 was dissolved in 34 mL THF, treated with 0.21 mL Et3N and 1.71 g 4-cyano-3-trifluoromethylphenyl isothiocyanate, and stirred at room temp. for 2 h to give 71% I ($n = 3$, NR1R2 = N:CHNMe2) which (2.6 g) was treated with a mixt. of 6 N aq. HCl and 1,4-dioxane under reflux for 1 h to give 70% I ($n = 3$, R1 = R2 = H) (II). II and I ($n = 3$, R1 = R2 = H) showed EC50 of 20,000 and >100,000 nM, resp., as androgen receptor agonists, and IC50 of 200 and 600 nM, resp., as androgen receptor antagonists with EC50/IC50 ratio of 100 and >170, resp., in an androgen receptor reporter gene assay using 11A11B2 cells (HeLa cells expressing human androgen receptor). They showed higher EC50/IC50 ratio than Picartamide (0.067) and hydroxyflutamide (0.1) and are expected to be anti-androgen agents without side effects such as development of androgen resistance and/or liver toxicity.
 IT 811794-17-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of
 3-(4-cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioximidazolidine-1-alkanesulfonamides derivs. as androgen receptor antagonists)
 RN 811794-17-9 CAPLUS
 CN Ethanesulfonamide, 2-[(1-cyano-1-methylethyl)amino]-N,N-bis[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

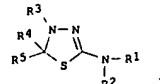
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L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004-902362 CAPLUS
 DOCUMENT NUMBER: 141:379928
 TITLE: Preparation of thiadiazoline derivatives as M-stage kinesin inhibitors
 INVENTOR(S): Murakata, Chikara; Yamashita, Yoshihori; Nakai, Ryuichiro; Akasaka, Kazuto; Ino, Yoji; Kato, Kazuhiko;
 PATENT ASSIGNEE(S): Kitamura, Yuji
 Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.
 SOURCE: PCT Int. Appl., 198 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092147	A1	20041028	WO 2004-JP5489	20040416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MW, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TW, TR, TT, TZ, UN, UG, US, VZ, VC, VN, YU, ZN, ZM, ZW				
RW: BM, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, DE, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, SE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, NE, SN, TG				
AU 2004230799	A1	20041028	AU 2004-230799	20040416
CA 2522594	A1	20041028	CA 2004-2522594	20040416
EP 1616866	A1	20060118	EP 2004-728012	20040416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, EZ, EE, HU, PL, SK, HR				
CN 1774428	A	20060517	CN 2004-0010301	20040416
PRIORITY APPLN. INFO.:			JP 2003-114071	A 20030418
			JP 2003-164727	A 20030610
			WO 2004-JP5489	W 20040416

OTHER SOURCE(S): MARPAT 141:379928
 GI

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB The title compds. I [R1 represents hydrogen, etc.; R2 represents hydrogen, C(:W)R6 (wherein W represents oxygen or sulfur and R6 represents (un)substituted lower alkyl, etc.), etc.; R3 represents C(=O)R19 (wherein % represents oxygen or sulfur and R19 represents (un)substituted lower alkyl, etc.) etc.; R4 represents (un)substituted lower alkyl, etc.; and

R5 represents (un)substituted aryl, etc.) are prepared. I [R1 = H; R2 = R3 = COCOMe; R4 = (CH2)2NH(CH2)2Me; R5 = phenyl] was prepared in a multistep process starting from thiosemicarbazide hydrochloride and Et₂benzoylacetate. Compds. of this invention in vitro showed IC50 values of 5.2 μmol/L against Eg5 ATPase. Formulations are given.

IT 781675-59-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

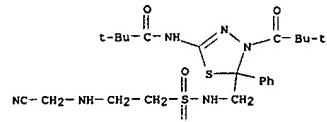
(preparation of thiadiazoline derivs. as M-stage kinesin inhibitors)

RN 781675-59-0 CAPLUS

CN Propanamide,

N-[5-[[[2-[(cyanomethyl)amino]ethyl]sulfonyl]amino]methyl]-4-

(2,2-dimethyl-1-oxopropyl)-4,5-dihydro-5-phenyl-1,3,4-thiadiazol-2-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



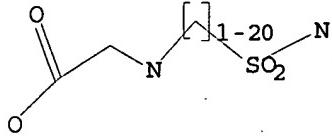
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 13:41:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1648 TO ITERATE

100.0% PROCESSED 1648 ITERATIONS 131 ANSWERS
SEARCH TIME: 00.00.01

L5 131 SEA SSS FUL L4

=> fil caplus			
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION	
FULL ESTIMATED COST	172.10	361.63	
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION	
CA SUBSCRIBER PRICE	0.00	-2.34	

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<http://www.cas.org/infopolicy.html>

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L6 28 L5

=> d ibib hitstr abs 1-28

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L6 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:117378 CAPLUS

DOCUMENT NUMBER: 144:192253
TITLE: Preparation of imidazolidine derivatives as androgen receptor antagonists
INVENTOR(S): Tachibana, Kazutaka; Sato, Haruhiko; Ohta, Masateru; Nakamura, Mitsuaki; Shiraishi, Takuya; Imakura, Ikuhiro; Yoshino, Hitoshi; Nagamuta, Masahiro; Kawata, Hiromitsu
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIIXDZ
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006013897	A1	20060209	WO 2005-JP14195	20050803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UR, VG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CL, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.: P 2004-227321			A 20040803	

OTHER SOURCE(S): MARPAT 144:192253

IT 811794-88-1P 811794-00-0P 811794-08-1P
875056-27-2P 875056-32-9P 875056-36-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

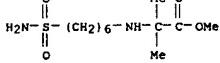
(preparation of imidazolidine derivs. as androgen receptor

antagonists for

treatment of prostate cancer, prostatomegaly, etc.)

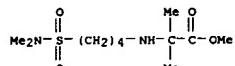
RN 811793-88-1 CAPLUS

CN Alanine, N-[6-(aminosulfonyl)hexyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

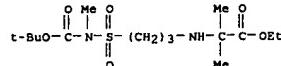


RN 811794-00-0 CAPLUS

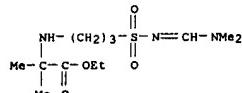
L6 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN Alanine, N-[4-[(dimethylamino)sulfonyl]butyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



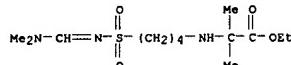
RN 811794-08-8 CAPLUS
CN 10-Oxa-3-thia-2,7-diazadodecanoic acid, 2,8,8-trimethyl-9-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 875056-27-2 CAPLUS
CN 5-Thia-2,4,9-triazadodec-3-en-11-oic acid, 2,10,10-trimethyl-, ethyl ester, 5,5-dioxide (9CI) (CA INDEX NAME)

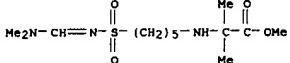


RN 875056-32-9 CAPLUS
CN 5-Thia-2,4,10-triazadodec-3-en-12-oic acid, 2,11,11-trimethyl-, ethyl ester, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 875056-36-3 CAPLUS
CN 5-Thia-2,4,11-triazatridec-3-en-13-oic acid, 2,12,12-trimethyl-, methyl ester, 5,5-dioxide (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [n = 1-20; Q = Q1, Q2; A = cyano, CO2R3, CONR3R4, etc.; B = H, halo, OR3, etc.; X1, X2 = O, S; m = 0-3; E = alkyl; R1, R2 = H, alkyl, alkylcarbonyl; R3, R4 = H, alkyl; when X1 = O and X2 = S, Q is not 4-cyano-3-trifluoromethylphenyl] were prepared. For example, reaction of compound II, e.g., prepared from 3-chloropropanesulfonamide in 2 steps,

with 3-chloro-4-cyanophenylisothiocyanate followed by treatment with HCl afforded compound III. In androgen receptor antagonistic activity assays, the IC50 value of compound III was 200 nM. Compds. I are claimed useful for the treatment of prostate cancer, prostatomegaly, etc.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:228075 CAPLUS

DOCUMENT NUMBER: 143:460416

TITLE: New analogues of MIF-1 (Pro-Leu-Gly-NH2) based on modification at position 2

AUTHOR(S): Pancheva, S.; Popgeorgieva, E.; Kalauzka, R.; Paipanova, T.

CORPORATE SOURCE: Institute of Molecular Biology, Bulgarian Academy of Sciences, Sofia, 1113, Bulg.

SOURCE: Dokladi na Bulgarskata Akademiya na Naukite (2004), 57(12), 49-54

PUBLISHER: Izdatelstvo na Bulgarskata Akademiya na Naukite

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:460418

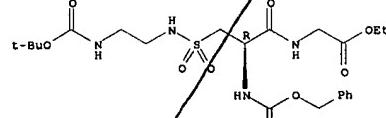
IT 869208-80-0P 869208-82-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of of MIF-1 (Pro-Leu-Gly-NH2) analogs based on modification at position 2)

RN 869208-80-0 CAPLUS

CN Glycine, 3-[(2-[(1,1-dimethylethoxy)carbonyl]amino)ethyl]amino]sulfonyl-L-alanyl-ethyl ester (9CI) (CA INDEX NAME)

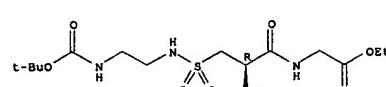
Absolute stereochemistry.



RN 869208-81-1 CAPLUS

CN Glycine, 3-[(2-[(1,1-dimethylethoxy)carbonyl]amino)ethyl]amino]sulfonyl-L-alanyl-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 869208-82-2 CAPLUS

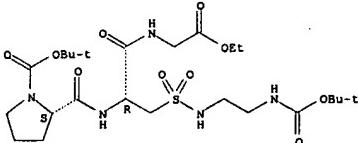
CN Glycine, 1-[(1,1-dimethylethoxy)carbonyl]-L-prolyl-3-[(2-[(1,1-dimethylethoxy)carbonyl]amino)ethyl]amino]sulfonyl-L-alanyl-ethyl ester

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L6 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



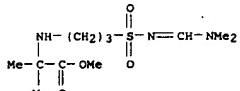
AB A series of analogs of L-prolyl-L-leucylglycynamide (MIF-1, inhibitor of MSH release), in which the leucine residue has been replaced by unnatural amino acids (substituted S-cysteine sulfonamides) considered to be structural sulfo analogs of natural amino acids leucine, isoleucine, norleucine and lysine, has been synthesized. The desired tripeptides were prepared using conventional segment condensation in solution
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

16 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSTION NUMBER: 2004:1127346 CAPLUS
DOCUMENT NUMBER: 142:74567
TITLE: Preparation of imidazolidine derivatives as androgen receptor antagonists
INVENTOR(S): Tachibana, Kazutaka; Sato, Haruhiko; Ohta, Masateru; Nakamura, Mitsuaki; Shiraishi, Tokuya; Imaoka, Ikuhiro; Yoshino, Hitoshi; Nagamuta, Masahiro; Kawata, Hiromitsu
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 59 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

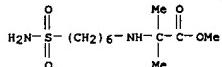
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111012	A1	20041223	WO 2004-JP8211	20040611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, UA, UG, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1634874	A1	20060315	EP 2004-745805	20040611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006135583	A1	20060622	US 2005-560281	20051212
PRIORITY APPLN. INFO.: JP 2003-168267			JP 2003-168267	A 20030612
			WO 2004-JP8211	W 20040611

OTHER SOURCE(S): MARPAT 142:74567
IT 811793-85-8P 811793-88-1P 811794-00-0P
811794-08-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of
3-(4-cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidine-1-alaknesulfonamides derivs. as androgen receptor antagonists)
RN 811793-85-8 CAPLUS
CN 5-Thia-2,4,9-triazaundec-3-en-11-oic acid, 2,10,10-trimethyl-, methyl ester, 5,5-dioxide (9CI) (CA INDEX NAME)

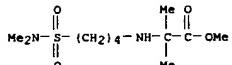
L6 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



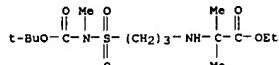
RN 811793-88-1 CAPLUS
CN Alanine, N-(6-(aminosulfonyl)hexyl)-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



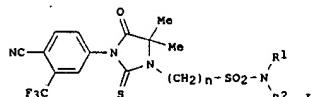
RN 811794-00-0 CAPLUS
CN Alanine, N-[4-(dimethylamino)sulfonyl]butyl)-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 811794-08-8 CAPLUS
CN 10-Oxa-3-thia-2,7-diazadodecanoic acid, 2,8,8-trimethyl-9-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



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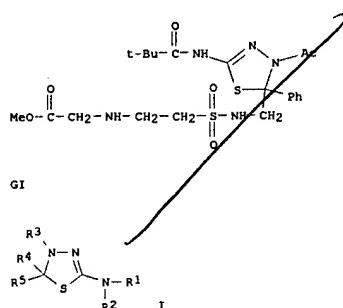
10560281full

L6 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004-902362 CAPLUS
 DOCUMENT NUMBER: 141:379928
 TITLE: Preparation of thiadiazoline derivatives as M-stage kinesin inhibitors
 INVENTOR(S): Murakata, Chikara; Yamashita, Yoshinori; Nakai, Ryuichiro; Akasaka, Kazuto; Ino, Yoji; Kato, Kazuhiko;
 PATENT ASSIGNEE(S): Kitamura, Yuji
 Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.
 SOURCE: PCT Int. Appl., 198 pp.
 CODEN: PIIXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092147	A1	20041028	WO 2004-JP5489	20040416
W: BE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ED, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KS, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, T2, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004230799	A1	20041028	AU 2004-230799	20040416
CA 2522594	A1	20041028	CA 2004-2522594	20040416
EP 1616666	A1	20060118	EP 2004-728012	20040416
R: AT, BE, CH, DE, DK, ES, MZ, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MR, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1774428	A	20060517	CN 2004-80010301	20040416
PRIORITY APPLN. INFO.:			JP 2003-114071	A 20030418
			JP 2003-164727	A 20030610
			WO 2004-JP5489	W 20040416

OTHER SOURCE(S): MARPAT 141:379928
 IT 781675-63-6P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of thiadiazoline derivs. as M-stage kinesin inhibitors)
 RN 781675-63-6 CAPLUS
 CN Glycine,
 N-[2-[[[(3-acetyl-5-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-2-phenyl-1,3-thiadiazol-2-yl)methyl]amino]sulfonyl]ethyl]-, methyl ester

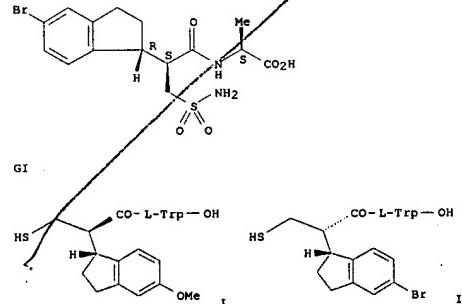
L6 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 (9CI) (CA INDEX NAME)



AB The title compds. I [R1 represents hydrogen, etc.; R2 represents hydrogen, C:W|R6 (wherein W represents oxygen or sulfur and R6 represents (un)substituted lower alkyl, etc.), etc.; R3 represents C(2)R19 (wherein Z represents oxygen or sulfur and R19 represents (un)substituted lower alkyl, etc.) etc.; R4 represents (un)substituted lower alkyl, etc.; and R5 represents (un)substituted aryl, etc.] are prepared. I [R1 = H; R2 = R3 = COCHMe3; R4 = (CH2)2NH(CH2)2Me; R5 = phenyl] was prepared in a multistep process starting from thiosemicarbazide hydrochloride and Et benzoyleacetate. Compds. of this invention in vitro showed IC50 values of $\leq 2 \mu\text{M}/\text{L}$ against Eg5 ATPase. Formulations are given.
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002-510485 CAPLUS
 DOCUMENT NUMBER: 137:370343
 TITLE: N-(2-(Indan-1-yl)-3-mercaptopropionyl) amino acids as highly potent inhibitors of the three vasopeptidases (NEP, ACE, ECE): in vitro and in vivo activities
 AUTHOR(S): Inguimbert, Nicolas; Poras, Herve; Teffo, Franck; Beslot, Francoise; Selkti, Mohamed; Tomas, Alain; Scalbert, Elizabeth; Bennejean, Caroline; Renard, Pierre; Fournie-Zaluski, Marie-Claude; Roques, Bernard-Pierre
 CORPORATE SOURCE: Departement de Pharmacochimie Moleculaire
 Structurale, UFR Sciences Pharmaceutiques et Biologiques, Paris, 75270, Fr.
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(15), 2001-2005
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 137:370343
 IT 735277-91-5P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and activity of dipeptide simultaneous neprilysin, angiotensin converting enzyme, and endothelin converting enzyme inhibitors for use in treatment of)
 RN 735277-91-5 CAPLUS
 CN L-Alanine,
 N-[(2S)-3-(aminosulfonyl)-2-[(1R)-5-bromo-2,3-dihydro-1H-inden-1-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB We have previously reported the design of a lead compound for the joint inhibition of neprilysin (NEP, EC 3.4.24.11), angiotensin converting enzyme (ACE, EC 3.4.15.1) and endothelin converting enzyme (ECE-1, EC 3.4.24.71), three metallopeptidases which are implicated in the regulation of fluid homeostasis and vascular tone. We report here the synthesis and biol. activities of analogs derived from this lead with inhibitory potencies in the nanomolar range for the three enzymes. Compds. (I) and (II) are the most potent triple inhibitors described to date.
 REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Karen Cheng

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L6 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:666735 CAPLUS

DOCUMENT NUMBER: 133:238019

TITLE: Preparation of aminopyrimidopyrimidines and related compounds as inhibitors of epidermal growth factor receptor-mediated cell proliferation.

INVENTOR(S): Himmelbach, Frank; Langkopf, Elke; Blech, Stefan; Jung, Birgit; Metz, Thomas; Solca, Flavio

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: PCT Int. Appl., 137 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055162	A2	20000921	WO 2000-EP2229	20000314
WO 2000055162	A3	20001228		
W: AE, AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BY, BJ, CF, CG, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD, TG				
DE 19911510	A1	20000921	DE 1999-19911510	19990315
CA 2361770	A1	20000921	CA 2000-2361770	20000314
EP 1163242	A2	20011219	EP 2000-920498	20000314
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539214	T	20021119	JP 2000-605591	20000314
US 2002082420	A1	20020627	US 2001-443597	20010821
PRIORITY APPLN. INFO.:			DE 1999-19911510	A 19990315
			WO 2000-EP2229	W 20000314

OTHER SOURCE(S): MARPAT 133:238019

IT 294181-46-7P 294181-48-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthesis or preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyrimidopyrimidines and related compds. as inhibitors of epidermal growth factor receptor-mediated cell proliferation)

RN 294181-46-7 CAPLUS

CN Glycine,
N-[2-[(trans-4-[(8-(1-(3-chloro-4-fluorophenyl)aminopyrimido[5,4-d]pyrimidin-2-yl)amino)cyclohexyl]amino)sulfonyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

L6 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ACCESSION NUMBER: 2000:290989 CAPLUS

DOCUMENT NUMBER: 132:321722

TITLE: Preparation of N-(2-arylpolypropionyl)sulfonamides as inhibitors of neutrophil chemotaxis and degranulation induced by interleukin 8.

INVENTOR(S): Bertini, Riccardo; Bizzarri, Cinzia; Sabbatini, Vilma;

PATENT ASSIGNEE(S): Porzio, Stefano; Caselli, Gianfranco; Allegretti, Marcello; Cesta, Maria Candida; Gandolfi, Carmelo A.; Mantovani, Marco; Colotta, Francesco; Dompè, S.P.A., Italy; et al.

SOURCE: PCT Int. Appl., 41 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024710	A1	20000504	WO 1999-EP7740	19991014

W: AE, AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GW, ML, MR, NE, SN, TD, TG

IT 130324

BR 2347752

BR 8914741

EP 1123276

EP 1123276

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

TR 200101124

HU 200103793

HU 225107

EE 200100233

JP 2002528434

AT 230723

PT 1123276

ES 2190264

NZ 511077

AU 769850

CN 1615833

RU 2255084

CZ 296434

NO 2001002000

US 6887903

NZ 525084

US 2003216392

US 6881755

AU 2003259648

EP 1579859

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

Karen Cheng

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L6 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)
AU 2005226901 A1 20051006 RU 2005-226901 20050317
CA 2555152 A1 20051006 CA 2005-2555152 20050317
WO 2003092315 A1 20051006 WO 2005-EP2822 20050317
W: AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LR, LS, LT, LU, LV, MA, MD, MG, MN, MM, MX, MZ, NA, NL,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, MM,
ZW
RW: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: IT 1998-MI2280 A 19981023

PRIORITY APPLN. INFO.: IT 1998-MI2280 A 19981023
AU 2000-10375 A3 19991014
WO 1999-EP7740 W 19991014
US 2001-830075 A3 20011121
EP 2004-7177 A 20040325
WO 2005-EP2822 W 20050317

OTHER SOURCE(S): MARPAT 132:321722
IT 266359-96-0P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(2-arylpropionyl)sulfonamides as inhibitors of neutrophil chemotaxis and degranulation induced by interleukin 8)
RN 266359-96-0 CAPLUS
CN Acetic acid, 1[(2-((1R)-2-[(4-(2-methylpropyl)phenyl]-1-

oxopropyl]amino)sulfonyl]ethyl]amino]oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation⁽⁻⁾.

IT 266360-00-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of N-(2-arylpropionyl)sulfonamides as inhibitors of

L6 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999;521437 CAPLUS
DOCUMENT NUMBER: 131:157754
TITLE: Preparation of naphthyridine IL-4 antagonists and
G-CSF stimulators
INVENTOR(S): Solomon, Daniel M.; Grace, Michael J.; Fine, Jay S.;
Bober, Loretta A.; Sherlock, Margaret H.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 57 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English .
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

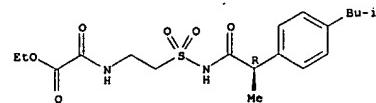
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5939431	A	19990817	US 1997-878860	19970615
PRIORITY APPLN. INFO.:			US 1996-22173P	P 19960620

OTHER SOURCE(S): MARPAT 131:157754
IT 200927-98-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of naphthyridine IL-4 antagonists and G-CSF stimulators)
RN 200927-98-6 CAPLUS
CN Glycine, N-[{[(6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl)amino]sulfonyl}acetyl]-, 1,1-dimethylethyl ester (9CI) [CA INDEX NAME]

IT 200927-75-9P 200927-76-0P 200927-78-2P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified; SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of naphthyridine IL-1 β antagonists and G-CSF stimulators)
RN 200927-75-9 CAPLUS
CN Glycine, N-[{[(6-[3-methyl-2-pyridinyl]-1,1'-naphthyridin-8-
wilmaminol)ulfamyl]carbonyl}-L]C1= (CA INDEX NAME)

L6 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)
chemotaxis and degranulation induced by interleukin 8
RN 266360-00-3 CAPLUS
CN Acetic acid, [12-[{[(2R)-2-[4-(2-methylpropyl)phenyl]-1-
oxopropoxy]amino]sulfonyl]ethyl]amino]oxo-, ethyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry. Rotation (-).



AB R2CHMeCONR1SO2R ($R_2 = \text{aryl}; R = \text{alkyl, CF}_3, \text{cyclohexyl, } \alpha\text{-tolyl,}$
 $3\text{-pyridyl, 2-pyridylethyl, } p\text{-cyanophenylmethyl, } p\text{-aminophenylmethyl,}$
 $3\text{-cyano-1-Pr, 4-aminobutyl, etc.; } R_1 = H, \text{alkyl,}$ were prepared. Thus,
 $(R_2)\text{-2-(4-isobutylphenyl)propionyl chloride in MeCN was added to NH}_3$ in
 H_2O

H2O at 0-5' to give (R)-2-(4-isobutylphenyl)propionamide. Title compds. inhibited chemotaxis of PMN human leukocytes with IC50 = 10-7 to 10-9M.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

FORMAT

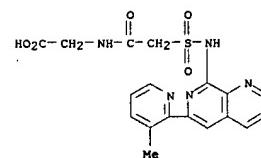
OTHER SOURCE(S): MARPAT 132:321722
IT 266359-96-0P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(2-arylpropionyl)sulfonamides as inhibitors of neutrophil chemotaxis and degranulation induced by interleukin 8)
RN 266359-96-0 CAPLUS
CN Acetic acid, 1[(2-((1R)-2-[(4-(2-methylpropyl)phenyl]-1-

oxopropyl]amino)sulfonyl]ethyl]amino]oxo- (9CI) (CA INDEX NAME)

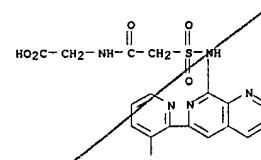
Absolute stereochemistry. Rotation⁽⁻⁾.

IT 266360-00-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of N-(2-arylpropionyl)sulfonamides as inhibitors of

L6 ANSWER 8 OF 28 CAPIUS COPYRIGHT 2007 ACS on STN {Continued}



RN 200927-76-0 CAPLUS
CN Glycine, N-[{[(6-(3-methyl-1-pyridinyl)-1,7-naphthyridin-8-yl)amino]sulfonyl}acetyl], monosodium salt (9CI) (CA INDEX NAME)



RN 200927-78-2 CAPLUS
CN L-Aspartic acid, N-[[[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-ylaminol)sulfonyl]acetyl]-. disodium salt (9CI) (CA INDEX NAME)

REFERENCES AND NOTES

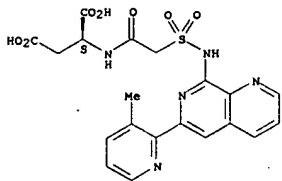
IT 200927-75-9P 200927-76-0P 200927-78-2P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses);
Preparation of naphthyridine II antagonists and G-CSF stimulators)
RN 200927-75-B CAPIUS
CN Glycine, N-[{[(6-[3-methyl-2-pyridinyl]-1,1-naphthyridin-8-
wilemino]butyl}methylcarbamoyl]-L-
[CA INDEX NAME]

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L6 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



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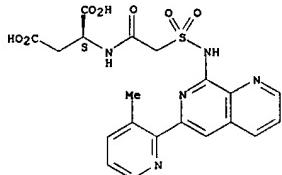
IT 200927-77-1P 200928-49-OP

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (preparation of naphthyridine IL-4 antagonists and G-CSF stimulators)

RN 200927-77-1 CAPLUS

CN L-Aspartic acid, N-[(6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl)amino]sulfonyl]acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



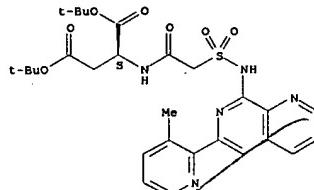
RN 200928-49-0 CAPLUS

CN L-Aspartic acid, N-[(6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl)amino]sulfonyl]acetyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



AB Title compds., e.g., R1Z1NHSSO2Z(NH)a(CO)bR8 (R1 = 3-methyl-2-pyridinyl; Z = 1,7-naphthyridine-6,8-diyl){I; R8 = alkyl(oxy) or benzyl(oxy); Z = phenylene; a,b = 0 or 1} were prepared as IL-4 antagonists (no data) and G-CSF stimulators. Thus, 8-amino-6-(3-methyl-2-pyridinyl)-1,7-naphthyridine was amidated by 4-(AcHN)C6H4SO2Cl to give I (R8 = Me, Z = 1,4-phenylene, a = b = 1). Data for G-CSF stimulating activity of I were given.

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998-251152 CAPLUS

DOCUMENT NUMBER: 128:321926

TITLE: Preparation of aspartate ester inhibitors of interleukin-1 β converting enzyme

INVENTOR(S): Albrecht, Hans P.; Allen, Hamish John; Brady, Kenneth; Caprath, Bradley William; Gilmore, John Lodge; Hartert, William Glen; Haya, Sheryl Jeanne; Kostlan, Catherine Rose; Lunney, Elizabeth Ann; Para, Kimberly Suzanne; et al.

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 179 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816502	A1	19980423	WO 1997-US18514	19971009
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, TN, TR, US, TD, TG				
CR 226806	A1	19980423	CA 1997-2268098	19971009
AU 97149023	A	19980511	AU 1997-49023	19971009
AU 738341	B2	20010913		
EP 932550	A1	19980804	EP 1997-911715	19971009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9712530	A	19981019	BR 1997-12530	19971009
JP 2001506974	T	20010529	JP 1998-518519	19971009
NO 9901677	A	19990609	NO 1999-1677	19990409
KR 2000049048	A	20000725	KR 1999-703117	19990410
PRIORITY APPLN. INFO.:			US 1996-28322P	P 19961011
			WO 1997-US18514	W 19971009

OTHER SOURCE(S): MARPAT 128:321926

IT 206865-33-OP 206865-34-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (preparation of aspartate ester inhibitors of interleukin-1 β converting enzyme)

CN L-Aspartic acid,

N-[(2S)-3-[(bis[(4-methoxyphenyl)methyl]amino)sulfonyl]-2-methyl-1-oxopropyl]-, 4-(1,1-dimethylethyl) l-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

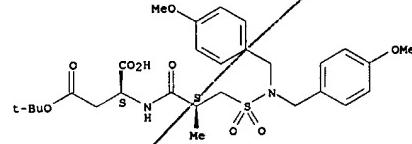
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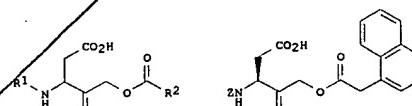
RN 206865-34-1 CAPLUS

CN L-Aspartic acid, N-[(2S)-3-[(bis[(4-methoxyphenyl)methyl]amino)sulfonyl]-2-methyl-1-oxopropyl]-, 4-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB The present invention relates to compds. I [R1 = carboxy, acyl, amino acid residue, etc.; R2 = (CR2)n-X-R3; each R = independently H, C1-6 alkyl, OH; R3 = (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclic, cycloalkyl, etc; X = bond, O, S; n = 0-3; and the pharmaceutically acceptable salts, esters, amides, and prodrugs thereof] as inhibitors of interleukin-1 β converting enzyme (ICE). This invention also relates to a method of treatment of stroke, inflammatory diseases, reperfusion injury, Alzheimer's disease, and shigellosis, and to a pharmaceutically acceptable composition that contains a compound that is an

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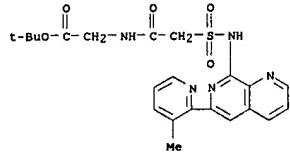
L6 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 inhibitor of interleukin-1 β converting enzyme. Thus, substitution of Z-Asp(OCH₃)-CH₂Br (Z = PhCH₂CO₂C) with 1-naphthylacetic acid, followed by acidic deprotection, gave desired aspartate ester deriv. II. II inhibited ICE with K_i = 0.460 μ M and IC₅₀ = 3.100 μ M, and inhibited Ich-2 (caspase-4) with IC₅₀ = 3.60 μ M, as detd. using in vitro assays. Related prepnd. compds. I (196 examples) were also tested for ICE inhibition (K_i values of 0.00008 to 76 μ M and IC₅₀ values of 0.0013 to 32 μ M), and Ich-2 inhibition (IC₅₀ = 0.021 to 76 μ M).
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:25142 CAPLUS
 DOCUMENT NUMBER: 128:88786
 TITLE: Preparation of naphthyridines which affect IL-4 and G-CSF
 INVENTOR(S): Solomon, Daniel M.; Grace, Michael J.; Fine, Jay S.; Bober, Loretta A.; Sherlock, Margaret H.
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: PCT Int. Appl., 98 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748368	A2	19971224	WO 1997-US9202	19970618
WO 9748368	A3	19980205		
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, DE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2258752	A1	19971224	CA 1997-2258752	19970618
CA 2258752	C	20060815		
AU 9735673	A	19980107	AU 1997-35673	19970618
EP 912571	A2	19990506	EP 1997-932142	19970618
EP 912571	B1	20040804		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
CN 1228090	A	19990908	CN 1997-197310	19970618
JP 200201472	T	20020115	JP 1998-502998	19970618
AT 272636	T	20040815	AT 1997-932142	19970618
ES 2225980	T3	20050316	ES 1997-932142	19970618
PRIORITY APPLN. INFO.:			US 1996-669185	A 19960620
			WO 1997-US9202	W 19970618

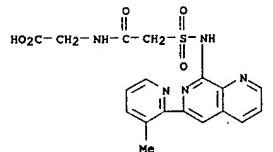
OTHER SOURCE(S): MARPAT 128:88786
 IT 200927-98-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of naphthyridines which affect IL-4 and G-CSF)
 RN 200927-98-6 CAPLUS
 CN Glycine, N-[{[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]amino}sulfonyl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



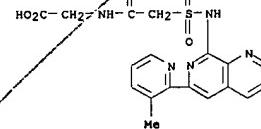
IT 200927-75-9P 200927-76-0P 200927-77-1P
 200927-78-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of naphthyridines which affect IL-4 and G-CSF)

RN 200927-75-9 CAPLUS
 CN Glycine, N-[{[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]amino}sulfonyl]acetyl]- (9CI) (CA INDEX NAME)



RN 200927-76-0 CAPLUS
 CN Glycine, N-[{[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]amino}sulfonyl]acetyl]-, monosodium salt (9CI) (CA INDEX NAME)

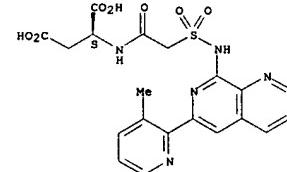
L6 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● Na

RN 200927-77-1 CAPLUS
 CN L-Aspartic acid, N-[{[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]amino}sulfonyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

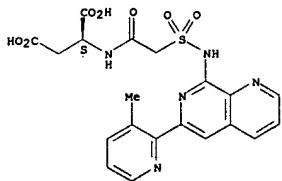


RN 200927-78-2 CAPLUS
 CN L-Aspartic acid, N-[{[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]amino}sulfonyl]acetyl]-, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10560281full

L6 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

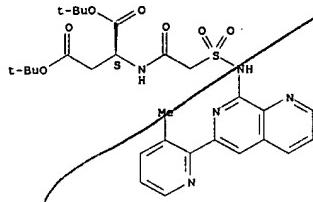


L6 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
W, T, U, V = CH, N, N(O); Y = H, Me; Y1 = H, lower alkyl, Ph, etc.; O = H, lower alkyl, lower alkyl O(O)CCH₂, lower alkyl (O)C; a, b, c, g, h, j = 0-1; f = 1-2; n = 1-6; tt = 0-1; R8 = H, OH, halo, etc.) and their pharmaceutically acceptable salts, useful in the treatment of allergy, inflammation, autoimmune diseases, B-cell lymphomas, tumors, and the after effects of bone marrow transplantation, were prep'd. Thus, reaction of 8-amino-6-(3-methyl-2-pyridinyl)-1,7-naphthyridine with N-acetylsulfanilyl chloride in the presence of Et₃N and DMAP in CH₂Cl₂ afforded the title compd. IV which resulted in a 4-5-fold increase in G-CSF levels, with an EC₅₀ of 15 μ M.

● 2 Na

IT 200928-49-0
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of naphthyridines which affect IL-4 and G-CSF)
RN 200928-49-0 CAPLUS
CN L-Aspartic acid, N-[(6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl)amino)sulfonyl]acetyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
AB The title compds. (I; E = II, III, etc.; A = CH, S, N, N(O); L, M, X, Z,

L6 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:366195 CAPLUS

DOCUMENT NUMBER: 127:95223

TITLE: Properties and reactions of substituted 1,2-thiazetidine 1,1-dioxides. Synthesis of N-substituted 4,4-dimethyl-1,2-thiazetidin-3-one 1,1-dioxides, and a new base-catalyzed rearrangement to thiazolidin-4-one 1,1-dioxides

AUTHOR(S): Glasl, Dietmar; Riba, Gretz; Otto, Hans Hartwig
Inst. Pharmaceutical/Medicinal Chem., Univ.

CORPORATE SOURCE: Greifswald, Greifswald, D-17487, Germany

SOURCE: Helvetica Chimica Acta (1997), 80(3), 671-683

PUBLISHER: Verlag Helvetica Chimica Acta

DOCUMENT TYPE: Journal

LANGUAGE: English

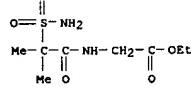
OTHER SOURCE(S): CASREACT 127:95223

IT 192075-05-1P 192075-07-3P

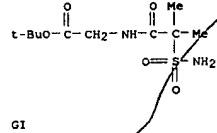
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of thiazetidinone dioxides and base-catalyzed rearrangement to thiazolidinone dioxides)

RN 192075-05-1 CAPLUS

CN Glycine, N-[2-(aminosulfonyl)-2-methyl-1-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)

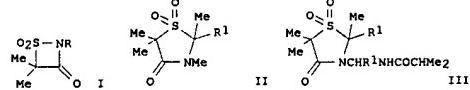


RN 192075-07-3 CAPLUS
CN Glycine, N-[2-(aminosulfonyl)-2-methyl-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



GI

L6 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB Alkylation of 3-oxo-1,2-thiazetidine 1,1-dioxide I (R = H) yields various N-alkylated 3-oxo- β -sultams of type I (R = alkyl). Solvolysis with NaOH or NH₃ selectively opens the N-S bond forming sulfonate carboxamides NaO₃SCMe₂CONHR (R = PhCH₂, EtO₂CH₂, 4-BrC₆H₄COCH₂) and sulfonamido carboxamides H₂NO₂SCMe₂CONHR (R = EtO₂CH₂, 4-BrC₆H₄COCH₂, Me₃CO₂CH₂) resp. Furthermore, the acylthiazetidines I (R = BrCH₂CO, MeOCH₂CO, Ac, Me₂CHCO) are prepared, representing a strained 4-membered ring with a diacylated, sulfonated N-atom. Depending upon the reaction conditions, I (R CH₂R₁; R₁ = EtO₂C, 4-BrC₆H₄CO, Me₃CO₂C, PhCH₂O₂C) are rearranged by base-catalyzed reactions to give the corresponding 4-oxothiazolidine 1,1-dioxides II or III.

10560281full

L6 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:497116 CAPLUS

DOCUMENT NUMBER: 125:142303

TITLE: Preparation of N-(fluorophenyl)sulfonamides as NMR diagnostic agents and pH indicators

INVENTOR(S): Niedballa, Ulrich; Platzek, Johannes; Raduechel,

Bernd; Frenzel, Thomas; Bauer, Hans Dr

PATENT ASSIGNEE(S): Schering A.-G., Germany

SOURCE: Ger. Offen., 24 pp.

CODEN: GWXKBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
DE 4447389	A1	19960627	DE 1994-4447389	19941222	
US 5666492	A	19971111	US 1995-487092	19950606	
CA 2208341	A1	19960627	CA 1995-2208341	19951208	
WO 9619447	A1	19960627	WO 1995-EP4825	19951208	
M: CA, JP, NO RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE EP 799197	A1	19971008	EP 1995-941078	19951208	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE	JP 11500414	T	19990112	JP 1995-519465	19951208
NO 9702876	A	19970620	NO 1997-2876	19970620	
PRIORITY APPLN. INFO.:			DE 1994-4447389	A 19941222	
			WO 1995-EP4825	W 19951208	

OTHER SOURCE(S): MARPAT 125:142303

IT 179946-69-1P 179946-72-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(fluorophenyl)sulfonamides as NMR diagnostic agents)

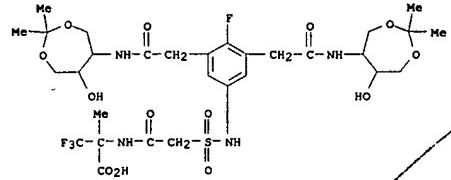
RN 179946-69-1 CAPLUS

CN Alanine,

3,3,3-trifluoro-N-[[[4-fluoro-3,5-bis[2-[[(6-hydroxy-2,2-dimethyl-

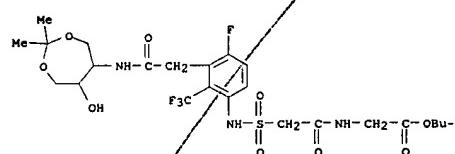
(9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 179946-72-6 CAPLUS

CN Glycine, N-[[[4-fluoro-3-[2-((6-hydroxy-2,2-dimethyl-1,3-dioxepan-5-yl)amino)-2-oxoethyl]-2-(trifluoromethyl)phenyl]amino]sulfonyl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 179946-30-6P 179946-31-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

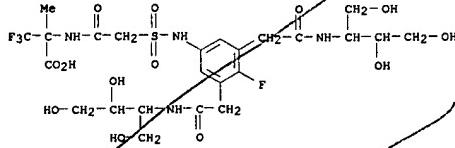
(preparation of N-(fluorophenyl)sulfonamides as NMR diagnostic agents)

RN 179946-30-6 CAPLUS

CN Alanine,

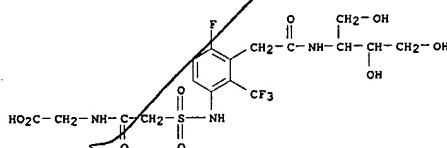
N-[[[3,5-bis[2-[[(2,3-dihydroxy-1-(hydroxymethyl)propyl]amino)-2-oxoethyl]-4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]acetyl]-3,3-trifluoro-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

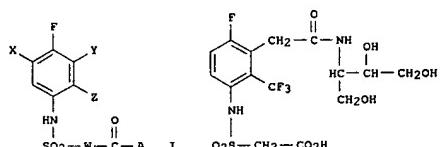


RN 179946-31-7 CAPLUS

CN Glycine, N-[[[3-[2-((2,3-dihydroxy-1-(hydroxymethyl)propyl)amino)-2-oxoethyl]-4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]acetyl]-, (9CI) (CA INDEX NAME)



GI



AB Substituted N-(4-fluorophenyl)sulfonamides I (Z = CF₃, H; Y = alkyl, hydroxalkyl, etc.; X = H, alkyl, hydroxalkyl, etc.; W = alkylene, n = 0-1; A = hydroxy, alkoxy, trifluoromethyl, etc.) were disclosed.

Diagnostic agents containing I were claimed, such as NMR diagnostic agents and

agents for in vivo measurement of pH. An example compound was 3-[(carboxymethyl)sulfonylamino]-6-fluoro-N-(2,3-dihydroxy-1-(hydroxymethyl)propyl)-2-(trifluoromethyl)benzenacetamide (II).

L6 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:65786 CAPLUS

DOCUMENT NUMBER: 120:65786

TITLE: Processing composition for silver halide color photographic material and processing method

INVENTOR(S): Okada, Hisashi; Yagihara, Morio; Nakamura, Shigeru

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: U.S., 48 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

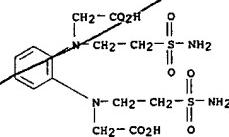
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5217855	A	19930608	US 1991-735558	199110603
JP 05333506	A	19931217	JP 1991-157442	19910603
PRIORITY APPLN. INFO.:			JP 1990-196972	A 19900725
			JP 1991-157442	A 19910603

IT 152198-30-2 RL: USES (Uses)

(in processing solution for photog.)

RN 152198-30-2 CAPLUS

CN Glycine, N,N'-1,2-phenylenebis[N-(2-(aminosulfonyl)ethyl)]- (9CI) (CA INDEX NAME)



AB The title composition contains ≥1 of R1N(L1X)L2Y [R1 = H or an aliphatic or aromatic group; L1, L2 = a divalent bonding group including an alkylene group and/or an arylene group; X = SONRaRb or NRcSO2Rd where Ra, Rb, and Rd each represents a hydrogen atom, an aliphatic group, or an aromatic group and Rd represents an aliphatic group or an aromatic group; and Y represents a carboxy group, a hydroxy group, a phosphono group, a sulfo group, or a salt thereof]. The composition does not produce precipitate or sludge even when contaminated by metallic ions. A processing method using the composition is also disclosed.

Karen Cheng

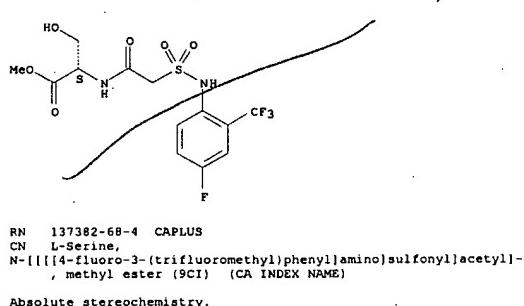
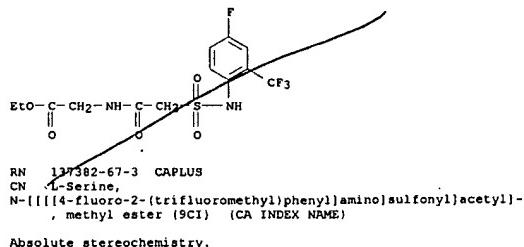
10560281full

L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1991:679606 CAPLUS
 DOCUMENT NUMBER: 115:279606
 TITLE: Fluorobenzenesulfonamides: preparation and use as diagnostic agents
 INVENTOR(S): Gries, Heinz; Niedballa, Ulrich; Weinmann, Hanns Joachim; Bauer, Hans
 PATENT ASSIGNEE(S): Schering A.-G., Germany
 SOURCE: Eur. Pat. Appl., 45 pp.
 CODEN: EPXWDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

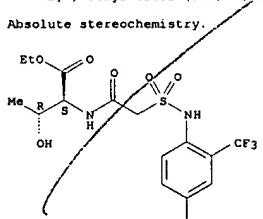
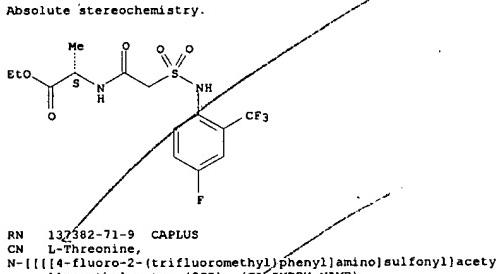
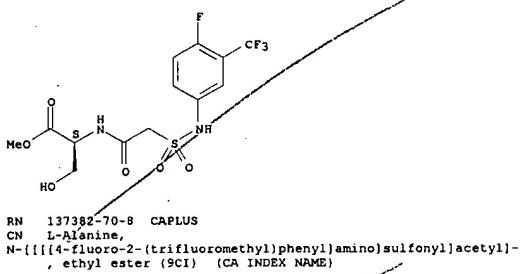
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 447013	A1	19910918	EP 1991-250069	19910312
EP 447013	B1	19940803		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 4008179	A1	19910919	DE 1990-4008179	19900312
JP 04217654	A	19920807	JP 1991-43373	19910308
NO 9100957	A	19910913	NO 1991-957	19910311
NO 175146	B	19940530		
NO 175146	C	19940907		
CA 2038084	A1	19910913	CA 1991-2038084	19910312
ZA 9101815	A	19911224	ZA 1991-1815	19910312
US 5210290	A	19930511	US 1991-667309	19910312
ES 2057745	T3	19941016	ES 1991-250069	19910312
PRIORITY APPLN. INFO.:		DE 1990-4008179	A	19900312

OTHER SOURCE(S): MARPAT 115:279606
 IT 137382-66-2P 137382-67-3P 137382-68-4P
 137382-70-BP 137382-71-9B 137382-72-0P
 137382-74-2P 137382-86-6P 137382-87-7P
 137382-89-9P 137383-04-1P 137383-05-2P
 137383-06-3P 137383-07-4P 137383-08-5P
 137383-10-9P 137535-08-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and saponification of)
 RN 137382-66-2 CAPLUS
 CN Glycine,
 N-[[[4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

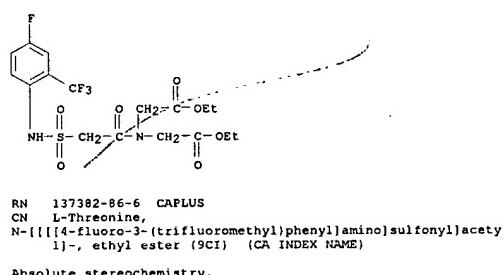
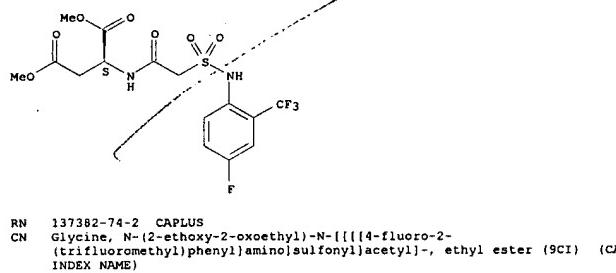
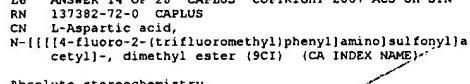
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



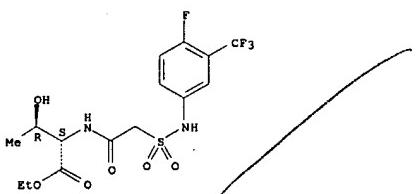
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



Karen Cheng

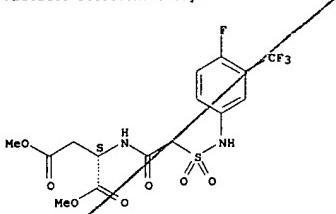
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L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

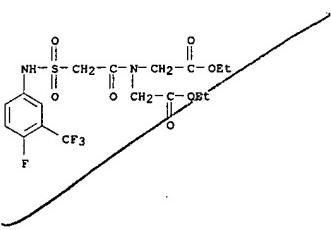


RN 137382-87-7 CAPLUS
CN L-Aspartic acid,
N-[(4-fluoro-3-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl-, dimethyl ester (9CI) (CA INDEX NAME)

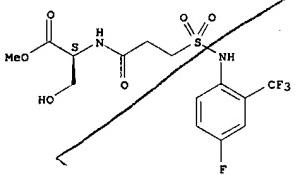
Absolute stereochemistry.



RN 137382-89-9 CAPLUS
CN Glycine, N-(2-ethoxy-2-oxoethyl)-N-[(4-fluoro-3-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl-, ethyl ester (9CI) (CA INDEX NAME)

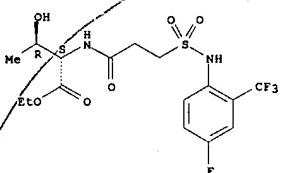


L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



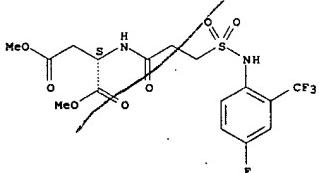
RN 137383-07-4 CAPLUS
CN L-Threonine,
N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxoethyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 137383-08-5 CAPLUS
CN L-Aspartic acid,
N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxoethyl]-, dimethyl ester (9CI) (CA INDEX NAME)

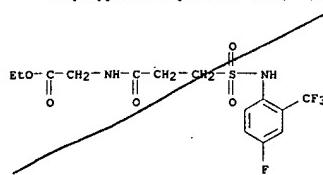
Absolute stereochemistry.



RN 137383-10-9 CAPLUS
CN Glycine, N-(2-ethoxy-2-oxoethyl)-N-[(4-fluoro-2-

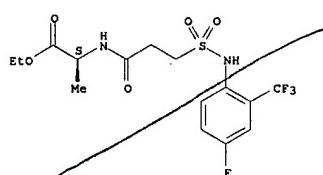
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 137383-04-1 CAPLUS
CN Glycine, N-[(3-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl)-1-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 137383-05-2 CAPLUS
CN L-Alanine, N-[(3-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl)-1-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)

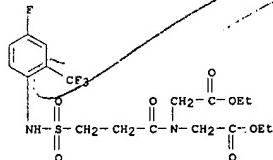
Absolute stereochemistry.



RN 137383-06-3 CAPLUS
CN L-Serine, N-[(3-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl)-1-oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)

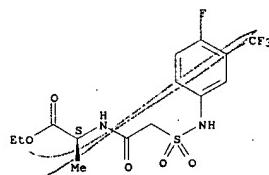
Absolute stereochemistry.

L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 137383-08-1 CAPLUS
CN L-Alanine,
N-[(4-fluoro-3-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



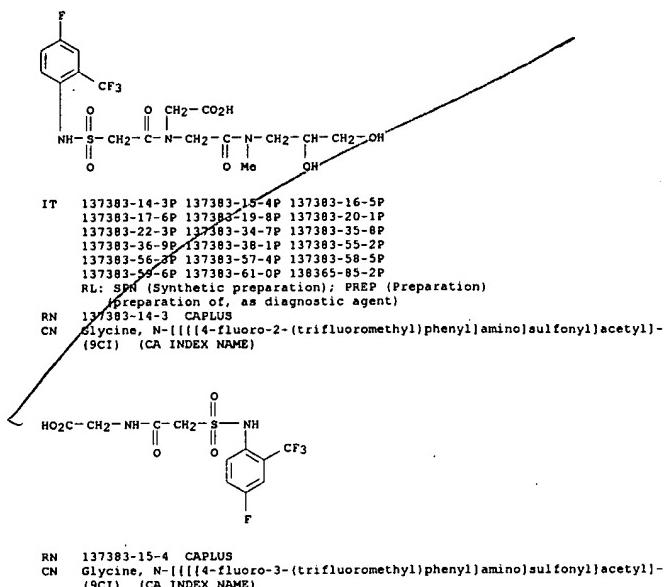
IT 137383-66-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 137383-66-5 CAPLUS
CN Glycine, N-[2-[(2,3-dihydroxypropyl)methylamino]-2-oxoethyl]-N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl-, (9CI) (CA INDEX NAME)

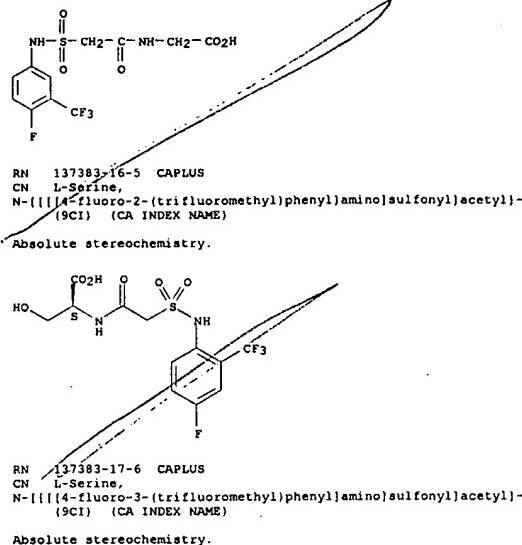
Karen Cheng

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L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



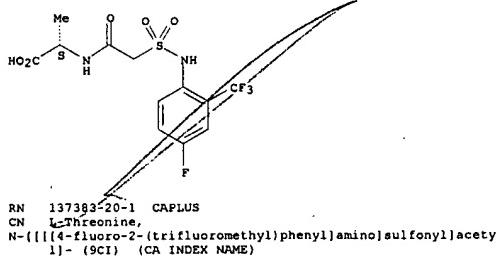
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



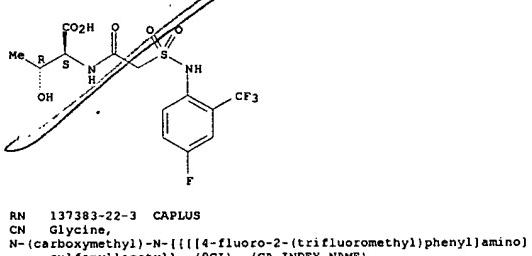
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 137383-19-8 CAPLUS
CN L-Alanine,
N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl]-
(9CI) (CA INDEX NAME)

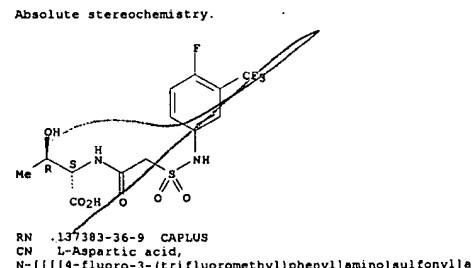
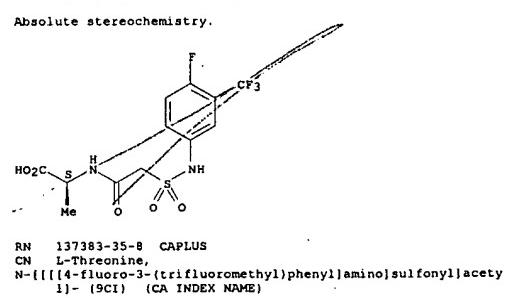
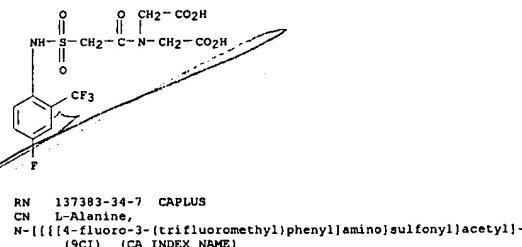
Absolute stereochemistry.



Absolute stereochemistry.



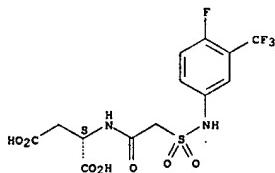
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



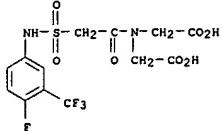
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L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
cetyl) - (9CI) (CA INDEX NAME)

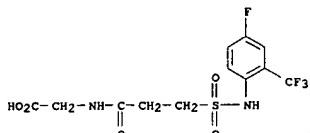
Absolute stereochemistry.



RN 137383-38-1 CAPLUS
CN Glycine, N-(carboxymethyl)-N-[3-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl - (9CI) (CA INDEX NAME)

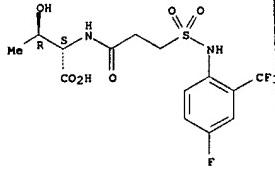


RN 137383-55-2 CAPLUS
CN Glycine, N-[3-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxopropyl - (9CI) (CA INDEX NAME)



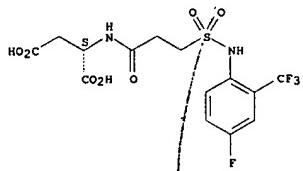
RN 137383-56-3 CAPLUS
CN L-Alanine, N-[3-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-

L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

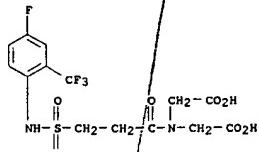


RN 137383-59-6 CAPLUS
CN L-Aspartic acid, N-[3-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxopropyl - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 137383-61-0 CAPLUS
CN Glycine, N-(carboxymethyl)-N-[3-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxopropyl - (9CI) (CA INDEX NAME)

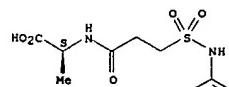


RN 138365-85-2 CAPLUS
CN L-Aspartic acid, N-[3-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-

Karen Cheng

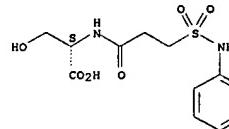
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
oxopropyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 137383-57-4 CAPLUS
CN L-Serine, N-[3-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxopropyl - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

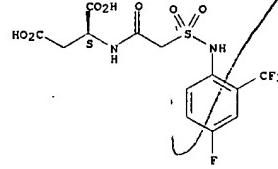


RN 137383-58-5 CAPLUS
CN L-Threonine, N-[3-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxopropyl - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



AB A process for the preparation of N-(fluorinated phenyl) sulfonamides 4-F(F₃C)C₆H₃NHSO₂(CH₂)_m(C₆H₄)nCOY (I; m = 0-4; n = 0, 1; Y = residue of an aminocarboxylic or aminosulfonic acid) comprises the treatment of 4-F(F₃C)C₆H₃NHSO₂(CH₂)_m(C₆H₄)nCO₂H with an optionally protected amino acid, removal of the protective groups, and treatment of the product thus formed with an amine. Et₃N (500 mg) and dicyclohexylcarbodiimide (1.03 g) were added to a mixture of DMF (100 mL), 2-(N-[4-fluoro-2-(trifluoromethyl)phenyl]sulfonyl)acetic acid (1.561 g), Et glycidate hydrochloride (700 mg), and hydroxybenzotriazole hydrate (766 mg) to give 77.7% Et 2-[N-(4-fluoro-2-(trifluoromethyl)phenyl)sulfonyl]acetylamino acetate (II). Saponification of II gave the acid. I are useful as diagnostic agents for NMR tomog. of the renal organs: they have potential use as sulfonamide-type bactericides (no data).

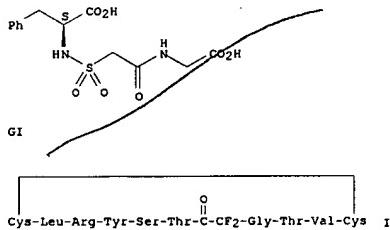
10560281full

L6 ANSWER 15 OF 28 CAPSIS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:437098 CAPLUS
DOCUMENT NUMBER: 113:37088
TITLE: Peptide analogs as haptens to elicit catalytic antibodies
INVENTOR(S): Tittmas, Richard C.; Hansen, David E.; Hong, Wonpyo; Booth, Paul M.; Powell, Michael J.; Rees, Anthony R.; Massey, Richard J.
PATENT ASSIGNEE(S): IGEN Inc., USA
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 19
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8910961	A1	19891116	WO 1989-US1951	19890504
W: AU, DK, FI, JP, KR, NO, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
ZA 8903284	A	19900328	ZA 1989-3284	19890503
AU 8937393	A	19891129	AU 1989-37393	19890504
AU 643186	B2	19931111		
EP 413762	A1	19910227	EP 1989-906570	19890504
EP 413762		20000712		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 05501948	T	19930415	JP 1989-506288	19890504
JP 2772088	B2	19980702		
AT 135235	T	19960315	AT 1989-906520	19890504
EP 701818	A2	19960320	EP 1995-111577	19890504
EP 701818	A3	19970604		
EP 701818	B1	20030730		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IL 902000	A	19970415	IL 1989-90200	19890504
CA 1310485	C	19980506	CA 1989-598754	19890504
JP 11152232	A	19980606	JP 1998-211311	19890504
AT 194645	T	20000715	AT 1989-906570	19890504
AT 246654	T	20030815	AT 1995-111577	19890504
CA 1314748	C	20050405	CA 1989-598697	19890504
US 6251660	B1	20010710	US 1994-325554	19941014
US 6207205	B1	20040309	US 1995-392407	19950222
US 6521432	B1	20030218	US 1995-479849	19950607
US 6946272	B1	20050920	US 1999-303716	19990430
US 2002045231	A1	20020418	US 2001-817502	20010326
PRIORITY APPLN. INFO.:			US 1988-190271	A2 19880504
			US 1983-556016	B1 19831129
			US 1984-674253	A2 19841127
			IL 1984-73685	A0 19841129
			EP 1989-906520	A3 19890504
			JP 1989-505991	A3 19890504

L6 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 127949-12-6 CAPLUS
CN L-Phenylalanine, N-[(2-[(carboxymethyl)amino]-2-oxoethyl)sulfonyl]-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.



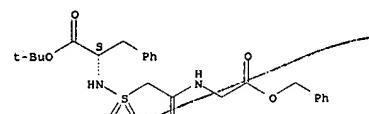
AB Synthetic haptens are prepared and used to stimulate production of catalytic antibodies. The haptens are designed such that the corresponding antibodies will selectively stabilize 21 of the high energy intermediates or transition states in the cleavage or formation of an amide, ester, or glycosidic bond. There are 3 classes of haptens: (1) those in which the hybridization of the atom corresponding to the carbonyl atom of the scissile bond of the amide or ester is converted from sp^2 to sp^3 hybridization; (2) those in which any of the atoms is replaced by a different atom, e.g. C may be replaced with P, S, Si, or B; and (3) those in which the atoms are part of a mono- or bicyclic system. Antibody-producing cells elicited by these haptens are used to prepare monoclonal antibodies and these are screened for catalytic activity. Cyclic peptide I, containing a difluoroketone transition state analog, was synthesized. The natural analog of this peptide includes residues 85 and 86 of the "flap" region of human renin. Cleavage of this bond disrupts binding of substrate to the catalytic site. The hapten was conjugated to keyhole limpet hemocyanin using glutaraldehyde and used to prepare monoclonal antibodies using standard procedures. These antibodies were found to inhibit renin activity in human plasma.

L6 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
WO 1989-US1950 A2 19890504
WO 1989-US1951 A 19890504
US 1989-364077 A1 19890608
US 1990-498225 A2 19900323
US 1991-700210 B2 19910612
US 1991-740501 B2 19910805
US 1991-761868 A2 19910903

US 1991-773042	B1 19911010
US 1992-837660	A1 19920214
US 1993-52490	A2 19930423
US 1993-132121	B1 19931005
US 1994-333237	A1 19941102
US 1999-241876	A1 19990202

OTHER SOURCE(S): MARPAT 113:37088
IT 127949-31-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation or reagent)
(preparation and reaction of, in preparation of peptide
haptens for
catalytic monoclonal antibody production)
RN 127949-31-9 CAPLUS
CN L-Phenylalanine,
N-[2-(2-oxo-2-[[2-(2-oxo-2-[[phenylmethoxyethyl]amino)ethyl]sulfonyle]-1,1-dimethylvinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



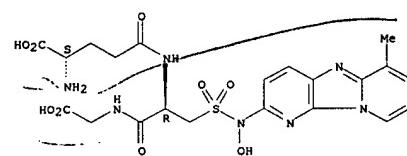
IT 127949-12-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of, as hapten for production of catalytic monoclonal antibodies)

L6 ANSWER 16 OF 28 CAPLUS. COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1999-1434941 CAPLUS
DOCUMENT NUMBER: 111:34941
TITLE: FAB-mass spectral analyses of the binding structures
of 2-nitroso-6-methyldipyrido[1,2-a:3',2'-d]imidazole

(NO-Glu-P-1) to SH groups of hemoglobin and
glutathione
AUTHOR(S): Umemoto, Atsushi; Yamazumi, Ziro; Grivas, Spiros;
Tsuda, Mitsuhiro; Monden, Yasumasa; Sato, Siegaki;
Sugimura, Takeshi
CORPORATE SOURCE: Res. Inst. Natl. Cancer Cent., Japan
SOURCE: Iyo Mass Kenkyukai Koshu (1988), 13, 221-4
DOCUMENT TYPE: CODEN: KIMKDN; ISSN: 0910-670X
Journal

LANGUAGE: Japanese
 IT 119644-87-0
 RL: FORM (Formation, nonpreparative)
 Description of, via nitroso-Glu-P 1 reaction with glutathione and Hbs)
 RN 119644-87-0 CAPRIS
 CN Glycine, N-[N-Lys-glytamyl-3-[(hydroxy(6-methylidipyridinol-1,2-a:3',2'-
 dimidazol-2-yl)amino]furyl-1-alanyl]-1-alanyl-1-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

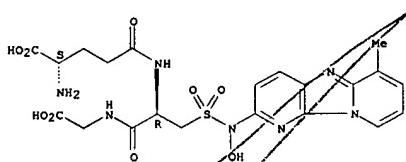


AB To study the possible detoxification mechanisms of the carcinogenic arylamine, 2-amino-6-methylidipyridol[1,2-a:3',2'-d]imidazole (Glu-P-1), the non-enzymic reactions of 2-nitroso-6-methylidipyridol[1,2-a:3',2'-d]imidazole (NO-Glu-P-1) with GSH and Hb were examined. Two GSH adducts were isolated and found to contain the Glu-P-1 and GSH moieties in a 1:1 molar ratio by way of an N-S linkage. Their structures were assigned as sulfonamide ($-NH-SO_2-$) and N-hydroxysulfonamide ($-N(OH)-SO_2-$) by UV, 1H -NMR, FT-IR and FAB-MS. The N-hydroxy-sulfonamide structure is a newly found form of arylnitroso compds. and SH groups. The binding between NO-Glu-P-1 and SH groups of Hb was also formed in a 4:1 molar ratio maximally. The *in vivo* oxidation of toxic arylamines and their subsequent binding to the SH groups of GSH and Hb can be considered as one of their detoxification pathways.

10560281full

L6 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1989:130245 CAPLUS
 DOCUMENT NUMBER: 110:130245
 TITLE: Non-enzymic glutathione conjugation of 2-nitroso-6-methylidipyrido[1,2-a:3',2'-d]imidazole (NO-Glu-P-1) in vitro: N-hydroxy-sulfonamide, a new binding form of arylnitroso compounds and thiols
 AUTHOR(S): Umemoto, Atsushi; Grivas, Spiros; Yamazumi, Ziro;
 Sato, Shigeaki; Sugimura, Takashi
 CORPORATE SOURCE: Natl. Cancer Cent. Res. Inst., Tokyo, 104, Japan
 SOURCE: Chemico-Biological Interactions (1988), 68(1-2), 57-69
 CODEN: CBINAB; ISSN: 0009-2797
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 119644-87-OP
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, arylamine detoxication in vivo in relation to)
 RN 119644-87-0 CAPLUS
 CN Glycine, N-[N-L-y-glutamyl-3-[(hydroxy(6-methylidipyrido[1,2-a:3',2'-d]imidazol-2-yl)amino)sulfonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

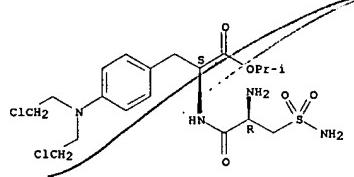


AB To study the possible detoxification mechanisms of the carcinogenic arylamine, 2-amino-6-methylidipyrido[1,2-a:3',2'-d]imidazole (Glu-P-1), in the in vitro/nonenzymic reaction of 2-nitroso-6-methylidipyrido[1,2-a:3',2'-d]imidazole (NO-Glu-P-1) with reduced glutathione (GSH) was examined at pH 7.4 under both aerobic and anaerobic conditions. Two GSH-arylamine adducts were isolated and found to contain the Glu-P-1 and GSH moieties in a 1:1 molar ratio via a N-S linkage. Their structures were assigned as sulfonamide (-NH-SO₂) and N-hydroxysulfonamide [-N(OH)-SO₂] by their behavior under acidic and basic conditions and by UV-VIS, ¹H-NMR, IR, and mass spectrometries. Also, a N-hydroxysulfonamide adduct was produced when NO-Glu-P-1 and cysteine were reacted at pH 7.4. The N-hydroxysulfonamide structure is a new binding form between arylnitroso compds. and thiols. The formation of these adducts may also take place in vivo as a detoxification of toxic arylamines since GSH is abundant in organs such as liver or kidney.

L6 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1988:179679 CAPLUS
 DOCUMENT NUMBER: 100:179679
 TITLE: Polymer-bound derivatives of sarcolysin and their antitumor activity against mouse and human leukemia
 in vitro
 AUTHOR(S): Ulbrich, Karel; Zacharieva, Ekaterina I.; Kopecek, Jindrich; Hume, Isabella C.; Duncan, Ruth
 CORPORATE SOURCE: Inst. Macromol. Chem., Czech. Acad. Sci., Prague, 16206, Czech.
 SOURCE: Makromolekulare Chemie (1987), 188(11), 2497-509
 CODEN: MACEAK; ISSN: 0025-116X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 112255-96-6DP, reaction products with hydroxypropylmethacrylamide polymers
 RL: RCT (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and antileukemia activity of)
 RN 112255-96-6 CAPLUS
 CN L-Phenylalanine, N-[3-(aminosulfonyl)-L-alanyl]-4-[bis(2-chloroethyl)amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

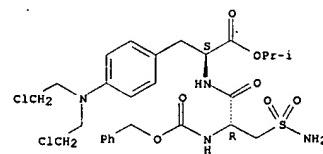
Absolute stereochemistry.



IT 112255-95-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and deprotection of)
 RN 112255-95-5 CAPLUS
 CN L-Phenylalanine, N-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]-4-[bis(2-chloroethyl)amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

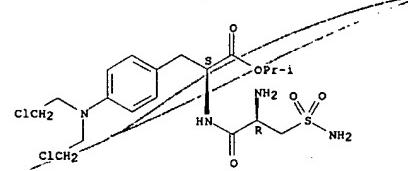
Absolute stereochemistry.

L6 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 112255-96-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction with hydroxypropylmethacrylamide copolymers)
 RN 112255-96-6 CAPLUS
 CN L-Phenylalanine, N-[3-(aminosulfonyl)-L-alanyl]-4-[bis(2-chloroethyl)amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB A series of N-(2-hydroxypropyl)methacrylamide (HPMA) copolymers containing different oligopeptide side-chains terminated in an alkylating anticancer agent-sarcosyllin iso-Oip ester (SL-Oip) and occasionally fucosylamine were prepared. In the 1st step reactive polymeric precursors were prepared by radical precipitation copolymer of HPMA with p-nitrophenyl esters of N-methacryloylated dipeptides (Gly-Phe or Gly-Leu). In the 2nd step the former were aminolysed with a dipeptide or amino acid derivs. of SL-Oip, thus forming tripeptide or tetrapeptide side-chains terminated in SL-Oip. Two of the polymers synthesized contained also fucosylamine as the terminal moiety, which was introduced as a targeting moiety, able to interact with fucose-specific membrane receptors of mouse leukemia L 1210 cells. These polymers were synthesized by consecutive aminolysis of reactive polymeric precursors with fucosylamine and SL-Oip derivs. To test the effect of the oligopeptide side-chain structure on the rate of drug release, the polymers synthesized were incubated with a mixture of lysosomal enzymes isolated from rat liver (tritosomes) and with cathepsin B. The relationship between the structure of polymer bound anticancer drugs and their biol. activity was determined in vivo by their effect on the growth of mouse leukemia L 1210 cells and human lymphoblastoid leukemia (CCRF) cells. The results demonstrate the potential of these compds. as

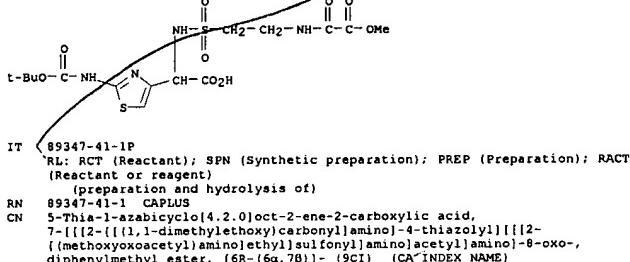
L6 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
new types of targetable anticancer agents.

L6 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 100:209513 CAPLUS
DOCUMENT NUMBER: 100:209513
TITLE: Cephalosporin derivatives and their pharmaceutical compositions
INVENTOR(S): Kocsis, Karoly; Wiederkehr, Rene; Wehrli, Hansuli
PATENT ASSIGNEE(S): Ciba-Geigy A.G., Switz.
SOURCE: Eur. Pat. Appl., 287 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

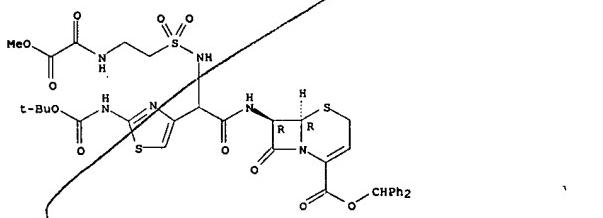
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 92830	A2	19831102	EP 1983-104037	19830425
EP 92830	A3	19841227		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
FI 8301381	A	19831028	FI 1983-1381	19830422
GB 2118942	A	19831109	GB 1983-11222	19830425
GB 2118942	B	19850724		
ES 521824	A1	19850501	ES 1983-521824	19830425
DK 8301853	A	19831028	DK 1983-1853	19830426
NO 8301470	A	19831028	NO 1983-1470	19830426
AU 8313951	A	19831103	AU 1983-13951	19830426
HU 28778	A2	19831228	HU 1983-1436	19830426
HU 188459	B	19860428		
DD 207720	A5	19840314	DD 1983-250223	19830426
ZR 8302918	A	19840829	ZR 1983-2918	19830426
JP 58194891	A	19831112	JP 1983-73135	19830427
ES 535195	A1	19850801	ES 1984-535195	19840816
PRIORITY APPLN. INFO.:			CH 1982-2568	A 19820427
			CH 1982-6504	A 19821109

OTHER SOURCE(S): MARPAT 100:209513
IT 89347-43-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of aminocephems by)
RN 89347-43-3 CAPLUS
CN 4-Thiazoleacetic acid, 2-[(1,1-dimethylethoxy)carbonyl]amino)- α -[(2-[(methoxycarbonyl)aminoethyl]sulfonyl)amino]acetyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



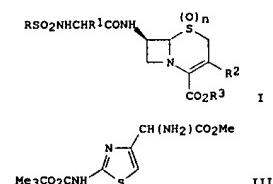
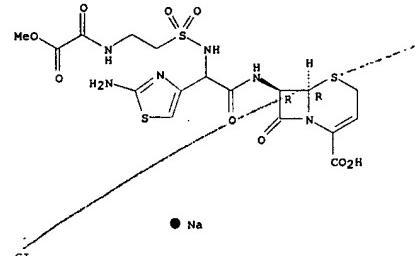
Absolute stereochemistry.



IT 89347-42-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 89347-42-2 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[(2-amino-4-thiazolyl)[(2-[(methoxycarbonyl)amino]ethyl)sulfonyl]amino]acetyl]amino]-8-oxo-, monosodium salt, (6R-(6a,7B))- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

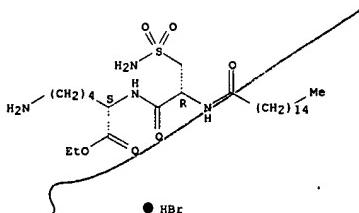


AB Cephalosporins I [R = C-bonded organic; R1 = heterocyclic; R2 = H, (un)substituted alkyl, alkoxy, halogen; R3 = H, protective group; n = 0-2] were prepared. Thus (2S)-I (R = Me, R1 = 2-amino-4-thiazolyl, R2 = H, R3 = Na, II) was prepared from thiazolylacetate III and benzhydryl 7-amino-3-cephem-4-carboxylate in 4 steps. II had a min. inhibitory concentration against Escherichia coli 205 of 0.02 μ g/mL.

10560281full

L6 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1983:522886 CAPLUS
 DOCUMENT NUMBER: 99:122886
 TITLE: Peptides of (R)-2-amino-3-sulfamoylpropanoic acid
 with
 AUTHOR(S): Gryc, Walentyna; Stoev, S.; Zakhарев, S.
 Zakhарев,
 R.; Tomicka, Bogumila; Golovinski, E.; Aleksiev,
 Boris; Kupryszewski, Gotfryd
 Inst. Chem., Univ. Warsaw, Bialystok, 15257, Pol.
 Polish Journal of Chemistry (1981), 55(10), 2039-45
 CORPORATE SOURCE: CODEN: PJCHDQ; ISSN: 0137-5083
 SOURCE:
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 87053-68-7P 87053-69-8P
 RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and antibacterial activity of)
 RN 87053-68-7 CAPLUS
 CN L-Lysine, N2-[3-(aminosulfonyl)-N-(1-oxohexadecyl)-L-alanyl]-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

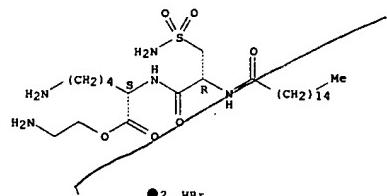


● HBr

RN 87053-69-8 CAPLUS
 CN L-Lysine, N2-[3-(aminosulfonyl)-N-(1-oxohexadecyl)-L-alanyl]-, 2-aminoethyl ester, dihydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

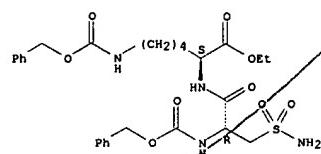
L6 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● 2 HBr

IT 87053-60-9P 87053-62-1P 87053-66-5P
 87053-67-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and deblocking of)
 RN 87053-60-9 CAPLUS
 CN L-Lysine, N2-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]-N6-
 [(phenylmethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

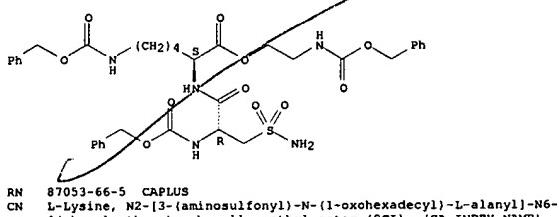
Absolute stereochemistry.



RN 87053-62-1 CAPLUS
 CN L-Lysine, N2-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]-N6-
 [(phenylmethoxy)carbonyl]-, 2-[(phenylmethoxy)carbonyl]aminoethyl ester
 (9CI) (CA INDEX NAME)

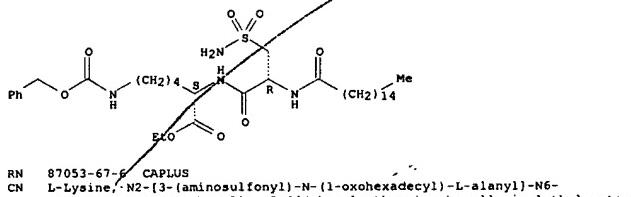
Absolute stereochemistry.

L6 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



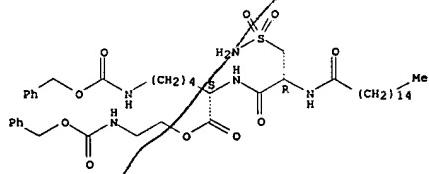
RN 87053-66-5 CAPLUS
 CN L-Lysine, N2-[3-(aminosulfonyl)-N-(1-oxohexadecyl)-L-alanyl]-N6-
 [(phenylmethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 87053-67-5P CAPLUS
 CN L-Lysine, N2-[3-(aminosulfonyl)-N-(1-oxohexadecyl)-L-alanyl]-N6-
 [(phenylmethoxy)carbonyl]-, 2-[(phenylmethoxy)carbonyl]aminoethyl ester
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

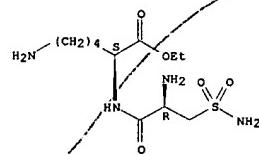


IT 87053-63-2P 87053-65-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 87053-63-2 CAPLUS
 CN L-Lysine, N2-[3-(aminosulfonyl)-L-alanyl]-, ethyl ester, dihydrobromide
 (9CI) (CA INDEX NAME)

Karen Cheng

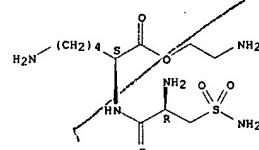
L6 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



RN 87053-65-4 CAPLUS
 CN L-Lysine, N2-[3-(aminosulfonyl)-L-alanyl]-, 2-aminoethyl ester, trihydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HBr

GI

$\text{H}_2\text{NCH}_2\text{CO}_2\text{Et}$
 $\text{CH}_2\text{SO}_2-\text{Lys}-\text{OEt} \cdot 2\text{HBr}$ IV

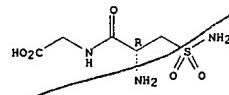
AB Title peptides H-Cys(O2NH2)-Lys-OEt·2HBr [I], Cys(O2NH2) = (R)-2-amino-3-sulfamoylpropanoic acid residue], H-Cys(O2NH2)-Lys-OEt·3HBr, H-Cys(O2NH2)-Lys-OCH2CH2NH2·3HBr, Pal-Cys(O2NH2)-Lys-OEt·HBr [II, Pal = palmitoyl], Pal-Cys(O2NH2)-Lys-OCH2CH2NH2·2HBr [III], Pal-Lys-Cys(O2NH2)-OEt·HBr and branched peptide IV were prepared by conventional methods and tested as bactericides. Thus, Z-Cys(O2NH2)-NHNH2

10560281full

L6 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 $\text{Z-Cys(O}_2\text{NH}_2\text{)-Lys(Z)-OEt}$ was coupled with H-Lys(Z)-OEt by the Azide method to give I. Gram-neg. bacteria were resistant to the above peptide hydrobromides at 1,000 $\mu\text{g}/\text{ccm}$, but some gram-pos. bacteria were susceptible to II and III at 500, 250, and 125 $\mu\text{g}/\text{ccm}$.

L6 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1980:16279 CAPLUS
 DOCUMENT NUMBER: 92:16279
 TITLE: Antibacterial activity of some substituted cysteine sulfonamides and peptides containing cysteine sulfonamide
 AUTHOR(S): Maneva, Liliiana; Stoev, Stoitscho; Aleksiev, Boris;
 Golovinsky, Evgeni
 CORPORATE SOURCE: Inst. Molekularbiol., Chem. Technol. Hochsch., Sofia,
 Bulg.
 SOURCE: Pharmazie (1979), 34(7), 423-5
 CODEN: PHARAT; ISSN: 0031-7144
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 IT 72071-07-9P 72071-08-OP 72071-09-1P
 RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);
 PREP (Preparation); USES (Uses)
 (preparation and antimicrobial activity of)
 RN 72071-07-9 CAPLUS
 CN Glycine, N-(3-(aminosulfonyl)-L-alanyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

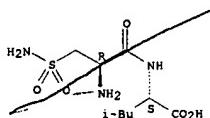


● HCl

RN 72071-08-0 CAPLUS
 CN L-Leucine, N-(3-(aminosulfonyl)-L-alanyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

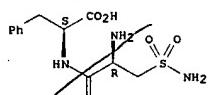
L6 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

RN 72071-09-1 CAPLUS
 CN L-Phenylalanine, N-[3-(aminosulfonyl)-L-alanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

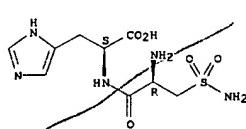
Absolute stereochemistry.



● HCl

RN 72071-10-4 CAPLUS
 CN L-Histidine, N-[3-(aminosulfonyl)-L-alanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

AB Cysteinesulfonamide-HCl [72120-67-3], some derivs. substituted in the sulfonamide group, and some dipeptides containing cysteine sulfonamide

Karen Cheng

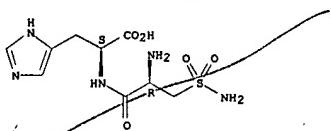
10560281full

L6 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1976:487897 CAPLUS
 DOCUMENT NUMBER: 85:87897
 TITLE: Synthesis and antibacterial activity of peptides containing cysteic acid sulfonamides
 AUTHOR(S): Alekseev, B.; Stoev, S.; Golovinski, E.; Maneva, L.
 CORPORATE SOURCE: Vyash. Khim.-Tekhnol. Inst., Sofia, Bulg.
 SOURCE: Tezisy Dokl. - Vses. Simp. Khim. Pept. Belkov. 3rd (1974), 6. Akad. Nauk Ukr. SSR: Kiev, USSR.
 CODEN: 33GEA4

DOCUMENT TYPE: Conference
 LANGUAGE: Russian
 IT 60022-07-3 60022-08-4 60022-09-5
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (bactericidal activity of)

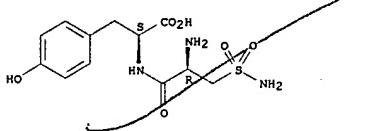
RN 60022-07-3 CAPLUS
 CN L-Histidine, N-[3-(aminosulfonyl)-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 60022-08-4 CAPLUS
 CN L-Tyrosine, N-[3-(aminosulfonyl)-L-alanyl]- (9CI) (CA INDEX NAME)

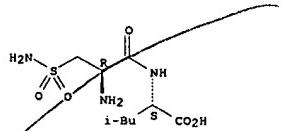
Absolute stereochemistry.



RN 60022-09-5 CAPLUS
 CN L-Leucine, N-[3-(aminosulfonyl)-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

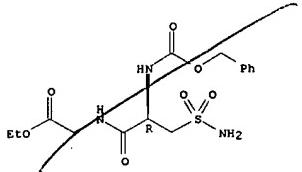
L6 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB L-sulfamidocysteinyl-L-histidine [60022-07-3] was 2 times more active than L-sulfamidocysteinyl-L-tyrosine [60022-08-4] and 3 times more active than L-sulfamidocysteinyl-L-leucine [60022-09-5] in inhibiting the in vitro growth of Escherichia coli, Staphylococcus aureus, Sarcina lutea, and 2 staphylococcal mutants.

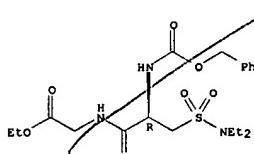
L6 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1973:442821 CAPLUS
 DOCUMENT NUMBER: 79:42821
 TITLE: Reactions of sulfur-containing aminocarboxylic acids, peptides, and proteins with chlorine. IX. Synthesis of sulfonamide derivatives of L-cysteinylglycines
 AUTHOR(S): Stoev, S.; Alekseev, B.
 CORPORATE SOURCE: Bulg.
 SOURCE: Godishnik na Visshiya Khimikotekhnologicheski Institut, Sofiya (1971), Volume Date 1969, 16(2), 25-35
 CODEN: GVKIAH; ISSN: 0489-6211
 DOCUMENT TYPE: Journal
 LANGUAGE: Bulgarian
 IT 32402-06-5P 32402-12-3P 33368-24-0P
 33368-26-2P 33368-27-3P 33368-28-4P
 33368-29-5P 33368-30-6P 33368-31-9P
 33368-32-0P 33368-33-1P 34610-27-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 32402-06-5 CAPLUS
 CN Glycine, N-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 32402-12-3 CAPLUS
 CN Glycine, N-[3-[(dimethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

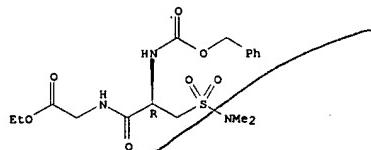


RN 33368-24-0 CAPLUS
 CN Glycine, N-[3-[(dimethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-

L6 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

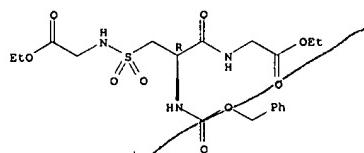
alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



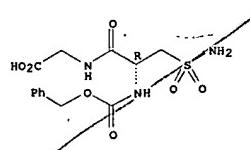
RN 33368-26-2 CAPLUS
 CN Glycine, N-[3-[(2-ethoxy-2-oxoethyl)amino]sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33368-27-3 CAPLUS
 CN Glycine, N-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33368-28-4 CAPLUS
 CN Glycine, N-[3-[(dimethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

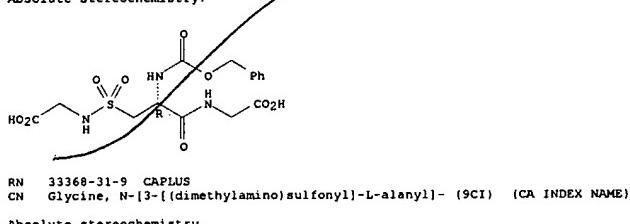
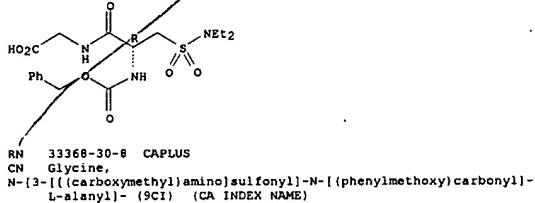
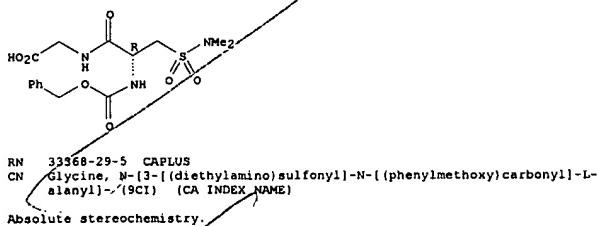
Absolute stereochemistry.

Karen Cheng

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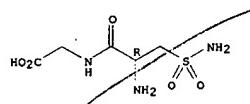
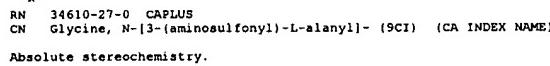
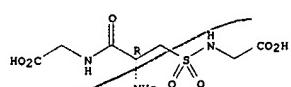
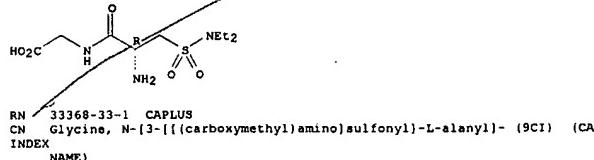
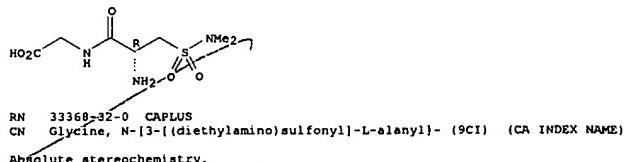
L6 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



L6 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



AB Bis(benzyloxy carbonyl)-L-cysteinyldiglycine di-Et ester was treated with Cl in moist CCl_4 at -20°C to give $\text{ClSO}_2\text{CH}_2\text{CH}(\text{NH}_2)\text{CO}-\text{NH}_2$ with $\text{H}_2\text{CO}_2\text{Et}$ ($\text{Z} = \text{CO}_2\text{CH}_2\text{Ph}$), which reacted with $\text{RNH}-\text{R} = \text{R}_1\text{H, Me, Et; R} = \text{H, R}_1 = \text{CH}_2\text{CO}_2\text{Et}$) to give $\text{RR}_1\text{NS}-\text{CH}_2\text{CH}(\text{NH}_2)\text{CONHCH}_2\text{CO}_2\text{Et}$ in 57.6-82.5% yield;

L6 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
sepon. of the latter afforded 73.4-81.0% $\text{RR}_1\text{NSO}_2\text{CH}_2\text{CH}(\text{NH}_2)\text{CONH}-\text{H}_2\text{CO}_2\text{CO}_2\text{H}$ ($\text{R} = \text{H, Me, Et; R} = \text{H, R}_1 = \text{CH}_2\text{CO}_2\text{H}$, resp.), which were hydrogenated over Pd to the corresponding $\text{RR}_1\text{NS}-\text{OCH}_2\text{CH}(\text{NH}_2)\text{CONHCH}_2\text{CO}_2\text{H}$ in 73.7-82.1% yield.

L6 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1973:124864 CAPLUS

DOCUMENT NUMBER: 78:124864

TITLE: Preparation of indenonyl-modified amino acids and peptides

AUTHOR(S): Alekseev, B. V.; Nishanyan, P. G.; Shamiyan, P. P.

CORPORATE SOURCE: Inst. Chem. Technol., Sofia, Bulg.

SOURCE: Doklady Bolgarskoj Akademii Nauk (1973), 26(1), 81-4

CODEN: DBANAD; ISSN: 0366-8681

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 40470-25-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

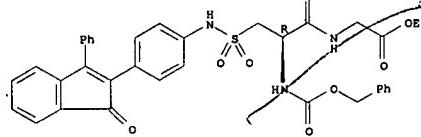
(preparation of)

RN 40470-25-5 CAPLUS

CN Glycine,

N-[3-[(4-(1-oxo-3-phenyl-1H-inden-2-yl)phenyl)amino]sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



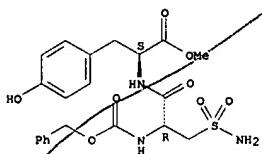
GI For diagram(s), see printed CA Issue.

AB Indenone derivs. I ($\text{R} = \text{Me, CH}_2\text{CH}_2\text{SMe, CH}_2\text{NMe}_2$) and some analogous cystine derivs. and cysteine-glycine dipeptides were in 60-100% yield by treating 2-(*p*-aminophenyl)-3-phenylinenone (II) with the appropriate *N*-protected amino acid. In the reaction of II with ClCH_2COBr , substitution occurred at both halogens.

10560281full

L6 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1971:541157 CAPLUS
 DOCUMENT NUMBER: 75:141157
 TITLE: Synthesis of peptides containing 2-amino-3-sulfamoylpropionic acid by the carbodiimide method
 AUTHOR(S): Alekseev, Boris; Nisanjan, Parunag; Stoev, Stojco; Doseva, Veneta
 CORPORATE SOURCE: Deutscher Wissenschaftsverlag, Tech. Hochsch. Aachen, Aachen, Fed. Rep. Ger.
 SOURCE: Hoppe-Seyler's Zeitschrift fuer Physiologische Chemie (1971), 352(10), 1411-16
 CODEN: HSZPAZ; ISSN: 0018-4888
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 OTHER SOURCE(S): CASREACT 75:141157
 IT 32402-04-3P 32402-06-5P 33642-60-3P
 33642-67-0P 33891-63-3P 33891-64-4P
 33891-66-6P 33891-68-8P 33891-69-9P
 33891-73-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 32402-04-3 CAPLUS
 CN Tyrosine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-, N-benzyl methyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 32402-06-5 CAPLUS
 CN Glycine, N-(3-(aminosulfonyl)-N-((phenylmethoxy)carbonyl)-L-alanyl)-, ethyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 33891-64-4 CAPLUS
 CN Valine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-, N-benzyl methyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

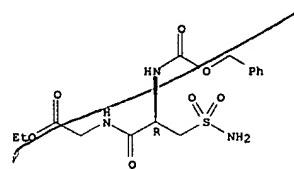
RN 33891-66-6 CAPLUS
 CN -Cystine, N,N'-bis(N-carboxy-3-sulfamoyl-L-alanyl)-, N,N'-dibenzyl diethyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 33891-68-8 CAPLUS
 CN Glycine, N-(N-(N-carboxy-3-sulfamoyl-L-alanyl)-L-valyl)-3-sulfamoyl-L-alanyl-, N-benzyl ethyl ester (8CI) (CA INDEX NAME)

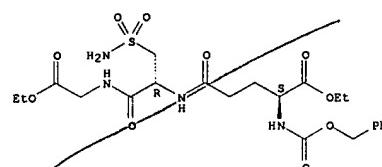
Absolute stereochemistry.

L6 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



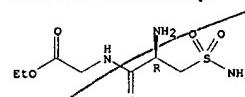
RN 33642-60-3 CAPLUS
 CN Glutamine, N2-carboxy-N-[1-((carboxymethyl)carbamoyl)-2-sulfamoylethyl]-, N2-benzyl diethyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33642-67-0 CAPLUS
 CN Glycine, N-(3-sulfamoyl-L-alanyl)-, ethyl ester (8CI) (CA INDEX NAME)

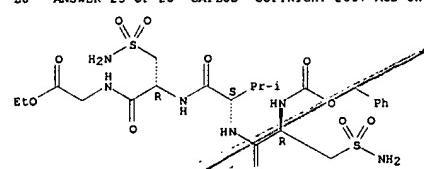
Absolute stereochemistry.



RN 33891-63-3 CAPLUS
 CN Histidine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-, N-benzyl methyl ester, L- (8CI) (CA INDEX NAME)

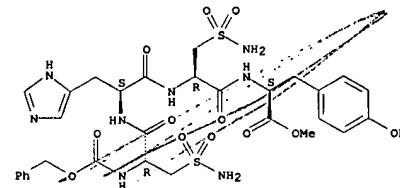
Absolute stereochemistry.

L6 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



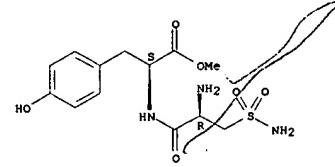
RN 33891-69-9 CAPLUS
 CN Tyrosine, N-(N-(N-carboxy-3-sulfamoyl-L-alanyl)-L-histidyl)-3-sulfamoyl-L-alanyl-, N-benzyl methyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33891-73-5 CAPLUS
 CN -Tyrosine, N-(3-sulfamoyl-L-alanyl)-, methyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



AB The carbodiimide method was suitable for the synthesis of peptides that contain the sulfonamide of cysteic acid (2-amino-3-sulfamoylpropionic acid). A number of di-, tri-, tetra-, and pentapeptides were synthesized by condensation of 2-amino-3-sulfamoylpropionic acid, protected at the

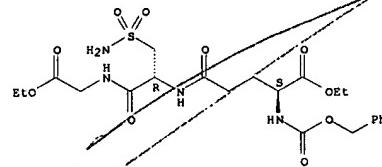
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L6 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
amino or the carboxy group, with the corresponding blocked amino acids
and peptides. The new compds. were optically active. The yields were
60-80%.

L6 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1971:518590 CAPLUS
DOCUMENT NUMBER: 75:118590
TITLE: Reaction of sulfur-containing aminocarboxylic acids,
peptides, and proteins with chlorine. 7. Synthesis
of sulfonamide derivatives of glutathione
AUTHOR(S): Stoev, Stojo; Alekseev, Boris
CORPORATE SOURCE: Chem.-Technol. Inst., Sofia, Bulg.
SOURCE: Pharmazie (1971), 26(8), 473-7
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 75:118590
IT 33642-60-3P 33642-61-4P 33642-62-5P
33642-64-7P 33642-65-8P 33642-66-9P
33642-67-0P 33642-68-1P 33662-29-2P
34441-42-4P 34625-46-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33642-60-3 CAPLUS
CN Glutamine, N2-carboxy-N-[1-(carboxymethyl)carbamoyl]-2-sulfamoylethyl-,
N2-benzyl ester, L- (8CI) (CA INDEX NAME)

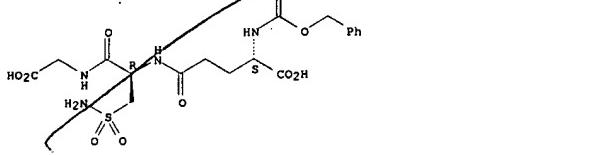
Absolute stereochemistry.



RN 33642-61-4 CAPLUS
CN Glutamine, N2-carboxy-N-[1-(carboxymethyl)carbamoyl]-2-sulfamoylethyl-,
N2-benzyl ester, L- (8CI) (CA INDEX NAME)

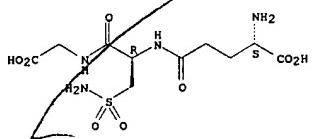
Absolute stereochemistry.

L6 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



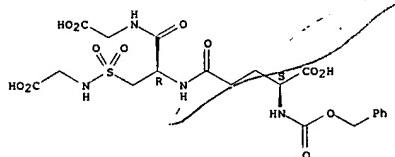
RN 33642-62-5 CAPLUS
CN Glutamine, N-[1-(carboxymethyl)carbamoyl]-2-sulfamoylethyl-, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33642-64-7 CAPLUS
CN Glutamine, N2-carboxy-N-[1-(carboxymethyl)carbamoyl]-2-[(carboxymethyl)sulfamoyl]ethyl-, N2-benzyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

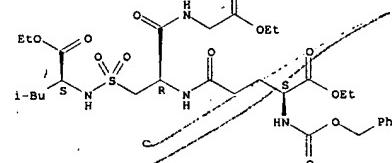


RN 33642-65-8 CAPLUS
CN Glutamine, N2-carboxy-N-[2-[(1-carboxy-3-methylbutyl)sulfamoyl]-1-[(carboxymethyl)carbamoyl]ethyl]-, N2-benzyl triethyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

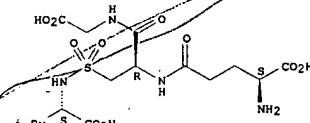
Karen Cheng

L6 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



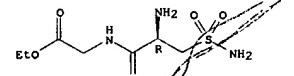
RN 33642-66-9 CAPLUS
CN Glutamine, N-[2-[(1-carboxy-3-methylbutyl)sulfamoyl]-1-[(carboxymethyl)carbamoyl]ethyl]-, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33642-67-0 CAPLUS
CN Glycine, N-(3-sulfamoyl-L-alanyl)-, ethyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.

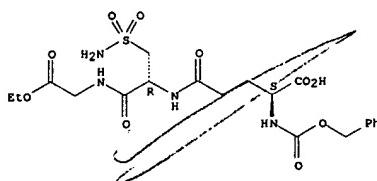


RN 33642-68-1 CAPLUS
CN Glutamine, N2-carboxy-N-[1-[(carboxymethyl)carbamoyl]-2-sulfamoylethyl]-, N2-benzyl monoethyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

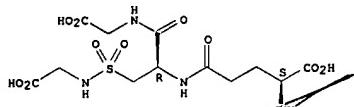
10560281full

L6 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



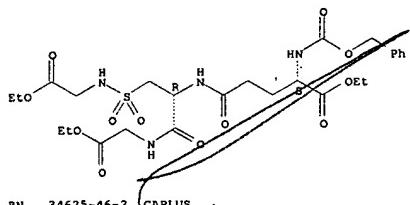
RN 33662-29-2 CAPLUS
CN Glutamine, N-[1-[(carboxymethyl)carbamoyl]-2-[(carboxymethyl)sulfamoyl]ethyl-, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 34441-42-4 CAPLUS
CN Glutamine, N₂-benzyl-N-[1-[(carboxymethyl)carbamoyl]-2-[(carboxymethyl)sulfamoyl]ethyl-, N₂-benzyl triethyl ester, L- (8CI) (CA INDEX NAME)

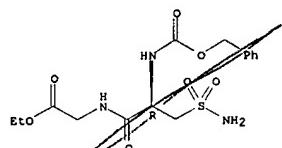
Absolute stereochemistry.



RN 34625-46-2 CAPLUS

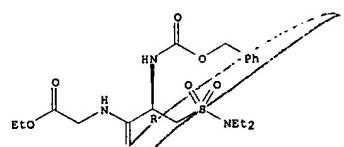
L6 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1971-498800 CAPLUS
DOCUMENT NUMBER: 75:98800
TITLE: Synthesis of sulfonamide derivatives of L-cysteinylglycine
AUTHOR(S): Stoev, S. B.; Alekseev, B. V.
CORPORATE SOURCE: Higher Chem.-Technol. Inst., Sofia, Bulg.
SOURCE: Doklady Bolgarskoj Akademii Nauk (1971), 24(5), 617-20
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: German
IT 32402-06-5P 32402-12-3P 33368-24-0P
33368-26-2P 33368-27-3P 33368-28-4P
33368-29-5P 33368-30-8P 33368-31-9P
33368-32-0P 33368-33-1P 34610-27-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32402-06-5 CAPLUS
CN Glycine, N-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 32402-12-3 CAPLUS
CN Glycine, N-[3-[(diethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

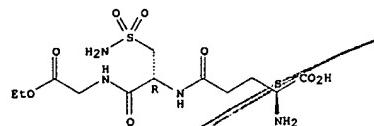
Absolute stereochemistry.



RN 33369-24-0 CAPLUS
CN Glycine, N-[3-[(dimethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

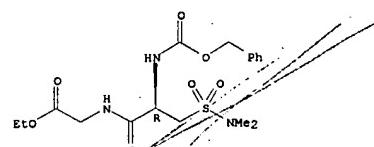
L6 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN Glutamine, N-[1-[(carboxymethyl)carbamoyl]-2-sulfamoylethyl]-, monoethyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



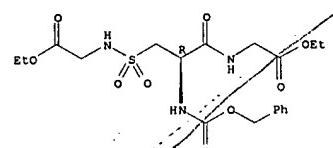
AB Stable glutathionesulfonyl chloride is prepared by protecting the amino and carboxyl groups with carbobenzoxy chloride and EtOH, and the sulfonyl chloride is condensed with NH₃ and Et esters of glycine and L-leucine to prepare sulfonamides. L-γ-Glutaminylsulfamidocysteinylglycine is also prepared from 2-amino-2-carboxyethanesulfonamide by the azide method.

L6 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



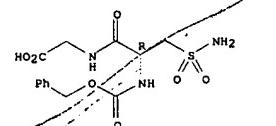
RN 33368-26-2 CAPLUS
CN Glycine, N-[3-[(2-ethoxy-2-oxoethyl)amino]sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33368-27-3 CAPLUS
CN Glycine, N-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



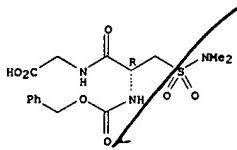
RN 33368-28-4 CAPLUS
CN Glycine, N-[3-[(dimethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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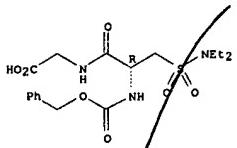
10560281full

L6 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



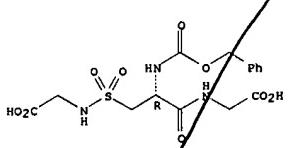
RN 33368-29-5 CAPLUS
CN Glycine, N-[3-[(diethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33368-30-8 CAPLUS
CN Glycine, N-[3-[(carboxymethyl)amino]sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

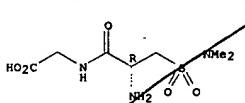
Absolute stereochemistry.



RN 33368-31-9 CAPLUS
CN Glycine, N-[3-[(dimethylamino)sulfonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

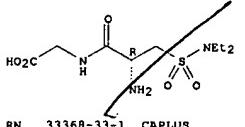
Absolute stereochemistry.

L6 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



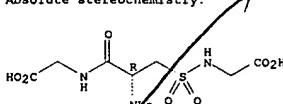
RN 33368-32-0 CAPLUS
CN Glycine, N-[3-[(carboxymethyl)amino]sulfonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



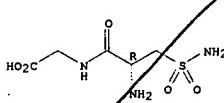
RN 33368-33-1 CAPLUS
CN Glycine, N-[3-[(carboxymethyl)amino]sulfonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 34610-27-0 CAPLUS
CN Glycine, N-[3-[(aminosulfonyl)-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB The cysteinylglycine sulfonamides HO₂CCH₂NHC(OH)R₁CH₂SO₂NRR₁ (R = R₁ = H, Me, Et; R = H, R₁ = CH₂CO₂H) were prepared from di-Et bis(benzoxy carbonyl)-L-cystinyl-bis-glycinate (I). Chlorination of I gave 80% EtO₂CCH₂NHC(OH)HO₂CCH₂PhCH₂SO₂Cl, which was treated with the amines

L6 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
HNRR₁, and the protective groups were removed. Yields of the sulfonamides were 73.7-78.2%. Yields of the other steps varied from 57.6 to 82.5%. I was prep'd. by the method of C. R. Harrington and T. H. Mead (1935).

L6 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1971:406302 CAPLUS

DOCUMENT NUMBER: 75:6302

TITLE: Reaction of sulfur-containing amino carboxylic acids, peptides, and protein with chlorine. 5. Synthesis of

peptides by the azide method

ALEKSEIEV, Boris V.; STOEV, S.; KOSTOV, M.
Inst. Chem. Technol., Sofia, Bulg.

CORPORATE SOURCE: Pharmazie (1971), 26(1), 18-21

SOURCE: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 75:6302

IT 32402-02-1P 32402-03-2P 32402-04-3P

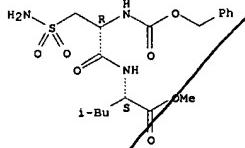
32402-05-4P 32402-06-5P 32402-12-3P

RL: SRN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 32402-02-1 CAPLUS

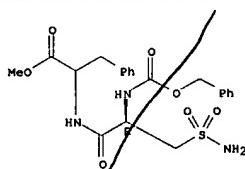
CN Leucine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-, N-benzyl methyl ester, L- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 32402-03-2 CAPLUS
CN Alanine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-3-phenyl-, N-benzyl methyl ester, DL- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



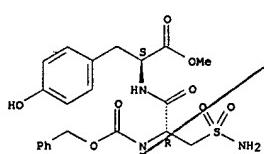
RN 32402-04-3 CAPLUS
CN Tyrosine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-, N-benzyl methyl ester, L-

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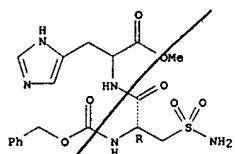
L6 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(BCI) (CA INDEX NAME)

Absolute stereochemistry.



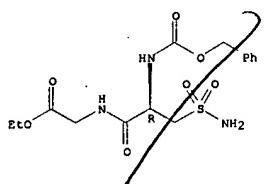
RN 32402-05-4 CAPLUS
CN Histidine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-, N-benzyl methyl ester,
DL- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 32402-06-5 CAPLUS
CN Glycine, N-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

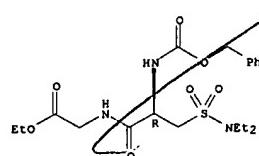
Absolute stereochemistry.



L6 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 32402-12-3 CAPLUS
CN Glycine, N-[3-[(diethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB QN3(Q = H2NO2SCH2CH(NHZ)CO where Z = CO2CH2Ph) was prepared by successive treatment of QOBt with N2H4 and HNO2, and coupling with H2NCHRCO2Me (R = H, Me2CHCH2, PhCH2, p-HOC6H4CH2, or 4-imidazolyl) and H2NCH2(CONHCH2)2CO2Me to give the corresponding QNHCHRCO2Me (I) and QNHCH2(CONHCH2)2CO2Me, resp. Et2NO2SCH2CH(NH2)CONHCH2CO2Me was similarly prepared QNHCH2CON3 similarly prepared from I (R = H), was also converted into Q(NHCH2CO)2NHCH(CO2Me)CH2Ph and QNHCH2CONHCH(CO2Me)CH2CHMe2.